

	ENTRY	SESSION
FULL ESTIMATED COST	0.84	0.84

FILE 'REGISTRY' ENTERED AT 17:59:59 ON 03 MAY 2006  
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STRUCTURE FILE UPDATES: 2 MAY 2006 HIGHEST RN 882569-16-6  
 DICTIONARY FILE UPDATES: 2 MAY 2006 HIGHEST RN 882569-16-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

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*****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
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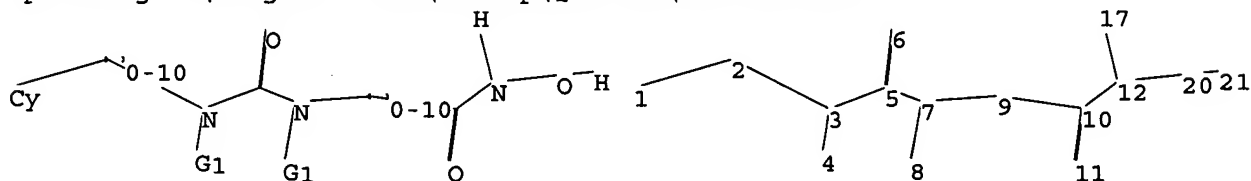
Structure search iteration limits have been increased. See HELP SLIMITS  
 for details.

REGISTRY includes numerically searchable data for experimental and  
 predicted properties as well as tags indicating availability of  
 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10614498.str



chain nodes :

1 2 3 4 5 6 7 8 9 10 11 12 17 20 21

chain bonds :

1-2 2-3 3-4 3-5 5-6 5-7 7-8 7-9 9-10 10-11 10-12 12-17 12-20 20-21

exact/norm bonds :

1-2 2-3 3-4 3-5 5-6 5-7 7-8 7-9 10-11 10-12 12-20

exact bonds :

9-10 12-17 20-21

G1:H,Ak

Match level :

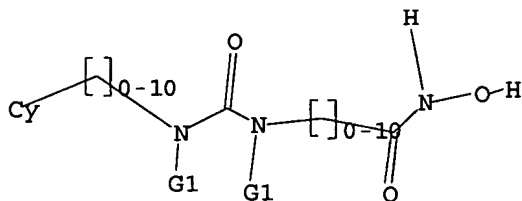
1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
 10:CLASS 11:CLASS 12:CLASS 17:CLASS 20:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=&gt; d l1

L1 HAS NO ANSWERS

L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=&gt; s l1

SAMPLE SEARCH INITIATED 18:00:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 71 TO ITERATE

100.0% PROCESSED 71 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 915 TO 1925

PROJECTED ANSWERS: 9 TO 359

L2 9 SEA SSS SAM L1

=&gt; s l1 ful

FULL SEARCH INITIATED 18:00:26 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1281 TO ITERATE

100.0% PROCESSED 1281 ITERATIONS

211 ANSWERS

SEARCH TIME: 00.00.01

L3 211 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.78

FILE 'CAPLUS' ENTERED AT 18:00:36 ON 03 MAY 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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10614498

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FILE COVERS 1907 - 3 May 2006 VOL 144 ISS 19  
FILE LAST UPDATED: 2 May 2006 (20060502/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3

L4 55 L3

=> s l3 and py<2004

55 L3

23840164 PY<2004

L5 38 L3 AND PY<2004

=> d abs bib hitstr 1-38

L5 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB The invention relates to methods for monitoring patient response to histone deacetylase inhibitors (e.g., suberoylanilide hydroxamic acid (SAHA)) or other therapeutic agents by measuring the level of thioredoxin in body fluids, tissues, and/or cells, such as peripheral blood mononuclear cells, plasma, or serum. The invention also relates to methods of monitoring and/or assisting with the diagnosis of a wide variety of thioredoxin-related diseases and conditions, such as inflammatory diseases, allergic diseases, autoimmune diseases, diseases associated with oxidative stress or diseases characterized by cellular hyperproliferation.

AN 2005:1355554 CAPLUS

DN 144:81158

TI Use of thioredoxin measurements for diagnostics and treatments

IN Marks, Paul A.; Ungerstedt, Johanna

PA USA

SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 369,094.  
CODEN: USXXCO

DT Patent

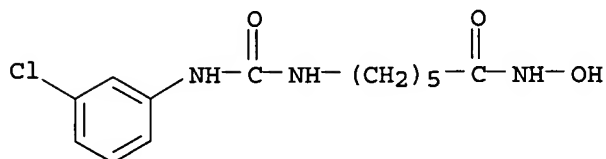
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005288227	A1	20051229	US 2005-144301	20050603
	US 2003235588	A1	20031225	US 2003-369094	20030214 <--
	US 2006009526	A1	20060112	US 2005-223405	20050909
	US 2006009527	A1	20060112	US 2005-223547	20050909
PRAI	US 2002-357383P	P	20020215		
	US 2003-369094	A2	20030214		

10614498

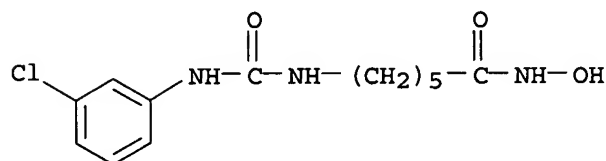
US 2004-577089P P 20040604  
 IT 174664-68-7  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (use of thioredoxin expression measurements for diagnostics and  
 monitoring treatments with histone deacetylase inhibitors and other  
 therapeutic agents for hyperproliferative diseases)  
 RN 174664-68-7 CAPLUS  
 CN Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
 (CA INDEX NAME)



L5 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB The present invention relates to a method for the treatment of cancer in a  
 patient in need thereof. The method comprises administering to a patient  
 in need thereof a first amount of a histone deacetylase inhibitor in a first  
 treatment procedure, and a second amount or dose of radiation in a second  
 treatment procedure. The first and second treatments together comprise a  
 therapeutically effective amount. The combination of the HDAC inhibitor and  
 radiation therapy is therapeutically synergistic.  
 AN 2003:855790 CAPLUS  
 DN 139:345907  
 TI Combination therapy for the treatment of cancer using histone deacetylase  
 inhibitors and radiotherapy  
 IN Sgouros, George; Richon, Victoria M.; Marks, Paul A.; Rifkind, Richard A.  
 PA Sloan-Kettering Institute for Cancer Research, USA  
 SO PCT Int. Appl., 94 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003088954	A1	20031030	WO 2003-US11812	20030415 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2482508	AA	20031030	CA 2003-2482508	20030415 <--
AU 2003226408	A1	20031103	AU 2003-226408	20030415 <--
US 2004018968	A1	20040129	US 2003-413422	20030415
EP 1501489	A1	20050202	EP 2003-747011	20030415
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009280	A	20050222	BR 2003-9280	20030415

JP 2005530734 T2 20051013 JP 2003-585706 20030415  
 CN 1728991 A 20060201 CN 2003-813849 20030415  
 PRAI US 2002-373033P P 20020415  
 WO 2003-US11812 W 20030415  
 OS MARPAT 139:345907  
 IT 174664-68-7  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (combination therapy for treatment of cancer using histone deacetylase  
 inhibitors and radiotherapy)  
 RN 174664-68-7 CAPLUS  
 CN Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
 (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB The invention provides a novel method for treating and/or preventing  
 thioredoxin (TRX)-mediated diseases and conditions, by administering to a  
 subject in need of such treatment a therapeutically effective amount of a  
 histone deacetylase (HDAC) inhibitor or a pharmaceutically acceptable salt  
 or hydrate thereof. The HDAC inhibitor can alter the expression of a  
 thioredoxin-binding-protein (e.g. TBP-2), which in turn can lead to an  
 altered TRX/thioredoxin-binding-protein cellular binding interaction,  
 resulting in an increase or decrease in the level or activity of cellular  
 TRX, for example the expression level or reducing activity of TRX. Thus  
 the invention relates to the use of HDAC inhibitors in a method of  
 preventing and/or treating a wide variety of thioredoxin (TRX)-mediated  
 diseases and conditions, such as inflammatory diseases, allergic diseases,  
 autoimmune diseases, diseases associated with oxidative stress or diseases  
 characterized by cellular hyperproliferation.

AN 2003:678618 CAPLUS

DN 139:207775

TI Method of treating TRX mediated diseases by administering histone  
 deacetylase inhibitors

IN Richon, Victoria M.; Marks, Paul A.; Rifkind, Richard A.; Butler, Lisa M.

PA Sloan-Kettering Institute for Cancer Research, USA

SO PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DT Patent

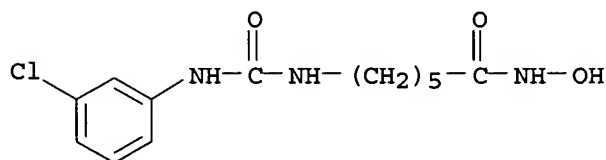
LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070188	A2	20030828	WO 2003-US4924	20030214 <--
WO 2003070188	A3	20040219		

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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

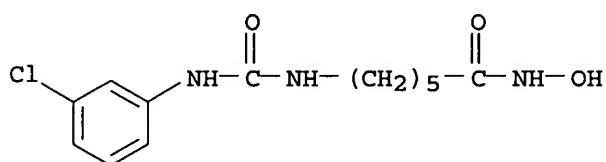
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2476434 AA 20030828 CA 2003-2476434 20030214 <--  
 EP 1482962 A2 20041208 EP 2003-716078 20030214  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2005525345 T2 20050825 JP 2003-569148 20030214  
 PRAI US 2002-357383P P 20020215  
 WO 2003-US4924 W 20030214  
 OS MARPAT 139:207775  
 IT 174664-68-7  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (use of histone deacetylase inhibitors for preventing/treating  
 thioredoxin (TRX) mediated diseases or conditions associated with  
 inflammation and cellular hyperproliferation)  
 RN 174664-68-7 CAPLUS  
 CN Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
 (CA INDEX NAME)



L5 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB A method of treating an autoimmune disease comprising administering to the  
 subject a treatment effective amount of a histone hyperacetylating agent, or  
 a pharmaceutically acceptable salt thereof.  
 AN 2003:473272 CAPLUS  
 DN 139:47148  
 TI Method of treating autoimmune diseases  
 IN Kammer, Gary M.; Mishra, Nilamadhab  
 PA USA  
 SO U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 718,195.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 2

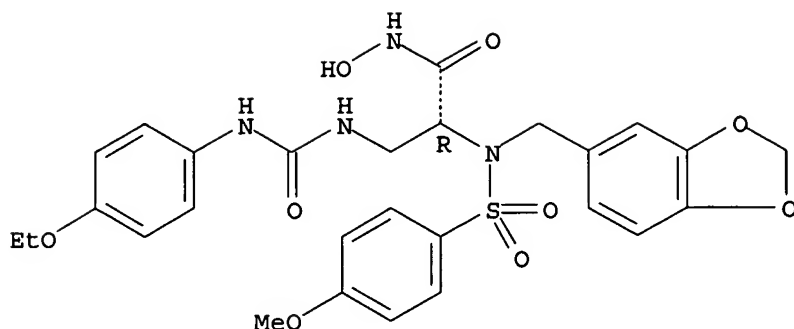
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003114525	A1	20030619	US 2002-151481	20020520 <--
	WO 2002055017	A2	20020718	WO 2001-US43871	20011119 <--
	WO 2002055017	A3	20030123		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
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 US 2006030626 A1 20060209 US 2005-237245 20050928  
 PRAI US 2000-718195 B2 20001121  
 WO 2001-US43871 A 20011119  
 US 2002-151481 A3 20020520  
 OS MARPAT 139:47148  
 IT 174664-68-7  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method of treating autoimmune diseases using a histone  
 hyperacetylating agent)  
 RN 174664-68-7 CAPLUS  
 CN Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
 (CA INDEX NAME)



L5 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB The parallel synthesis of novel inhibitors of procollagen C-terminal  
 proteinase is described. The synthetic strategy allowed for the facile  
 synthesis of a large number of side-chain diversified diamino acid  
 hydroxamates, of which the d-diaminopropionic acid derivs. were shown to  
 be single digit nanomolar PCP inhibitors.  
 AN 2003:442742 CAPLUS  
 DN 139:245665  
 TI Novel Inhibitors of Procollagen C-Terminal Proteinase. Part 1: Diamino  
 Acid Hydroxamates  
 AU Delaet, N. G. J.; Robinson, L. A.; Wilson, D. M.; Sullivan, R. W.;  
 Bradley, E. K.; Dankwardt, S. M.; Martin, R. L.; Van Wart, H. E.; Walker,  
 K. A. M.  
 CS CombiChem Inc., San Diego, CA, 92121, USA  
 SO Bioorganic & Medicinal Chemistry Letters (2003), 13(13),  
 2101-2104  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 OS CASREACT 139:245665  
 IT 279255-50-4P  
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic  
 preparation); BIOL (Biological study); PREP (Preparation)  
 (solid-phase synthesis and structure-activity relations of diamino acid  
 hydroxamates as inhibitors of procollagen C-terminal proteinase)  
 RN 279255-50-4 CAPLUS  
 CN Propanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-  
 methoxyphenyl)sulfonyl]amino]-3-[[[(4-ethoxyphenyl)amino]carbonyl]amino]-N-  
 hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB A method of treating an autoimmune disease (for example, Systemic Lupus Erythematosus) comprises administering to the subject a treatment effective amount of a histone hyperacetylating agent, or a pharmaceutically acceptable salt thereof. Methods of screening compds. useful for the treatment of autoimmune disease are also disclosed. Trichostatin A down-regulated CD154 and interleukin 10 and up-regulated interferon- $\gamma$  in SLE T cells.

AN 2002:539476 CAPLUS

DN 137:88450

TI Method of treating autoimmune diseases with histone hyperacetylating agent

IN Kammer, Gary M.; Mishra, Nilamadhab

PA Wake Forest University, USA

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

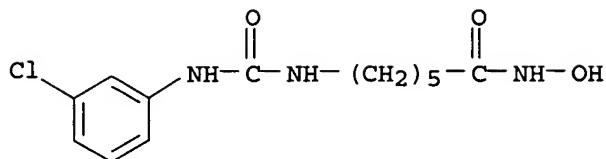
LA English

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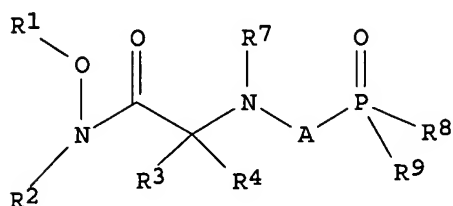
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	US 2003082666	A1	20030501	US 2002-187586	20020702 <--
PRAI	US 2000-718195	A	20001121		
	WO 2001-US43871	A	20011119		
IT	174664-68-7				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(method of treating autoimmune diseases with histone hyperacetylating agent)				
RN	174664-68-7 CAPLUS				
CN	Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)				



(CA INDEX NAME)



L5 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
GI



I

AB The invention relates to the preparation and use of title compds. I (A = selected from the group comprised of CR5R6, CR5R6CH(OH), CR5R6CO, COCR5R6; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, acyl, cycloalkyl, alkylcycloalkyl, heterocyclic, etc.; R2-R7 = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.; R8-R9 = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.), is described. Thus, reaction of glycine Me ester hydrochloride with pentanal followed by H3PO3 phosphorylation and sequential treatment with NH2OH gave title compound, HONHCOCH2NHCH(Bu)P(O)(OH)2. The prepared compds. are used as herbicides for selective pre- and post-emergent control of weeds in useful plant cultures.

AN 2001:904191 CAPLUS

DN 136:37770

TI Preparation of organophosphorous hydroxamic acid derivatives as herbicides

IN Jomaa, Hassan

PA Jomaa Pharmaka GmbH, Germany

SO PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DT Patent

LA German

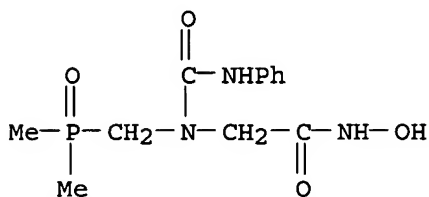
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094358	A1	20011213	WO 2001-EP6536	20010608 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,				

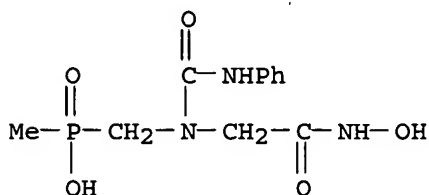
10614498

VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
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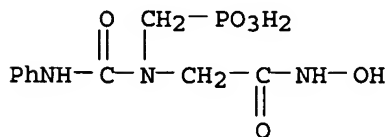
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 PRAI DE 2000-10028367 A 20000608  
 DE 2000-10029800 A 20000616  
 OS CASREACT 136:37770; MARPAT 136:37770  
 IT 380326-74-9P 380330-14-3P 380331-16-8P  
 RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological  
 study); PREP (Preparation); USES (Uses)  
 (preparation of organophosphorous hydroxamic acid derivs. useful as  
 herbicide)  
 RN 380326-74-9 CAPLUS  
 CN Acetamide, 2-[[[(dimethylphosphinyl)methyl][(phenylamino)carbonyl]amino]-N-  
 hydroxy- (9CI) (CA INDEX NAME)



RN 380330-14-3 CAPLUS  
 CN Phosphinic acid, [[[2-(hydroxyamino)-2-oxoethyl][(phenylamino)carbonyl]ami  
 no]methyl]methyl- (9CI) (CA INDEX NAME)

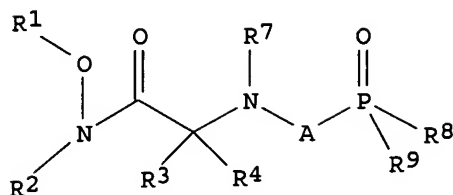


RN 380331-16-8 CAPLUS  
 CN Phosphonic acid, [[[2-(hydroxyamino)-2-oxoethyl][(phenylamino)carbonyl]ami  
 no]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 GI



I

AB The invention relates to the preparation and use of title compds. I (A = selected from the group comprised of CR5R6, CR5R6CH(OH), CR5R6CO, COCR5R6; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, acyl, cycloalkyl, alkylcycloalkyl, heterocyclic, etc.; R2-R7 = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.; R8-R9 = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.), is described. Thus, reaction of glycine Me ester hydrochloride with pentanal followed by H3PO3 phosphorylation and sequential treatment with NH2OH gave title compound, HONHCOCH2NHCH(Bu)P(O)(OH)2. Said compds. are used for producing medicaments for the therapeutic and prophylactic treatment of infections in humans and animals caused by viruses, bacteria, fungi and parasites.

AN 2001:903861 CAPLUS

DN 136:37769

TI Preparation of organophosphorous hydroxamic acid derivatives useful for producing medicaments

IN Jomaa, Hassan

PA Jomaa Pharmaka GmbH, Germany

SO PCT Int. Appl., 87 pp.

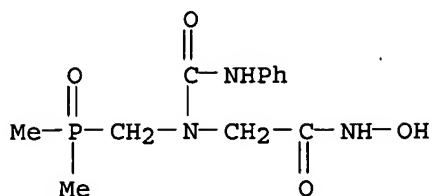
CODEN: PIXXD2

DT Patent

LA German

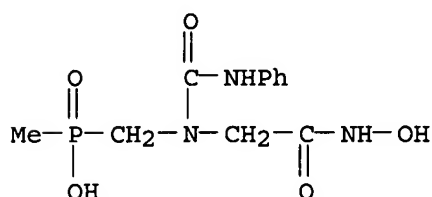
FAN.CNT 2

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	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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PRAI	DE 2000-10028367	A	20000608		
OS	CASREACT 136:37769; MARPAT 136:37769				
IT	380326-74-9P 380330-14-3P 380331-16-8P				
	RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of organophosphorous hydroxamic acid derivs. useful for producing medicaments)				
RN	380326-74-9 CAPLUS				
CN	Acetamide, 2-[[[(dimethylphosphinyl)methyl][(phenylamino)carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)				



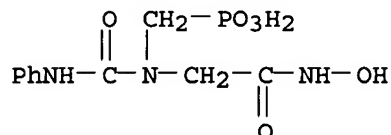
RN 380330-14-3 CAPLUS

CN Phosphinic acid, [[[2-(hydroxyamino)-2-oxoethyl] [(phenylamino) carbonyl] amino]methyl]methyl- (9CI) (CA INDEX NAME)



RN 380331-16-8 CAPLUS

CN Phosphonic acid, [[[2-(hydroxyamino)-2-oxoethyl] [(phenylamino) carbonyl] amino]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB The corrected version of Scheme 1 is given.

AN 2001:746620 CAPLUS

DN 138:321530

TI Amino acid derived sulfonamide hydroxamates as inhibitors of procollagen C-proteinase: solid-phase synthesis of ornithine analogues. [Erratum to document cited in CA135:344719]

AU Dankwardt, S. M.; Martin, R. L.; Chan, C. S.; Van Wart, H. E.; Walker, K. A. M.; Delaet, N. G.; Robinson, L. A.

CS Inflammatory Diseases Unit, Roche Bioscience, Palo Alto, CA, 94304, USA

SO Bioorganic & Medicinal Chemistry Letters (2001), 11(21), 2891

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

IT 371782-59-1P 371782-60-4P 371782-61-5P

371782-74-0P 371782-76-2P 371782-78-4P

371782-79-5P 371782-81-9P 371782-82-0P

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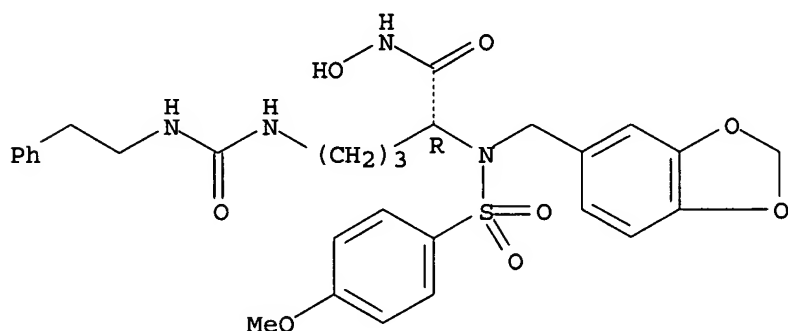
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 371783-10-7P 371783-11-8P 371783-12-9P

RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (solid-phase synthesis of libraries of ornithine analogs sulfonamides  
 as procollagen C-proteinase inhibitors (Erratum))

RN 371782-59-1 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(2-phenylethyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

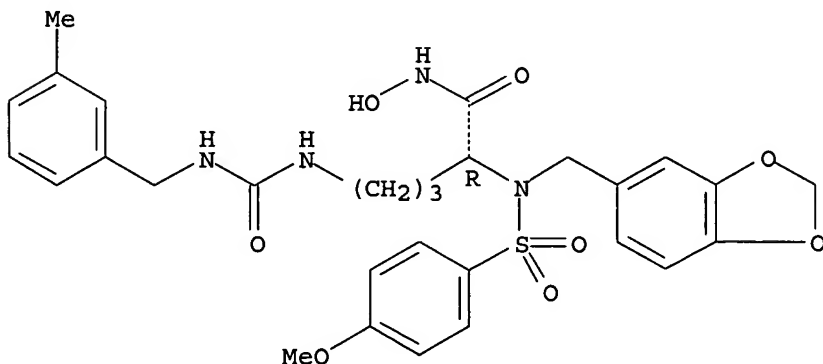
Absolute stereochemistry.



RN 371782-60-4 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(3-methylphenyl)methyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

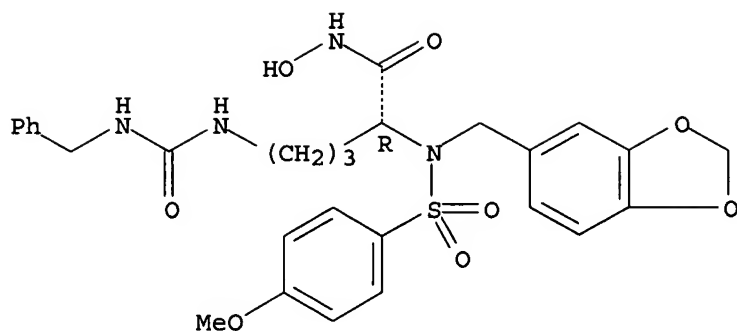
Absolute stereochemistry.



RN 371782-61-5 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(phenylmethyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

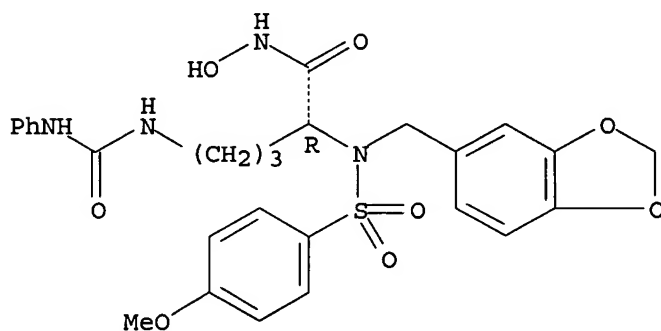
Absolute stereochemistry.



RN 371782-74-0 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(phenylamino)carbonyl]amino]-, (2R)-(9CI) (CA INDEX NAME)

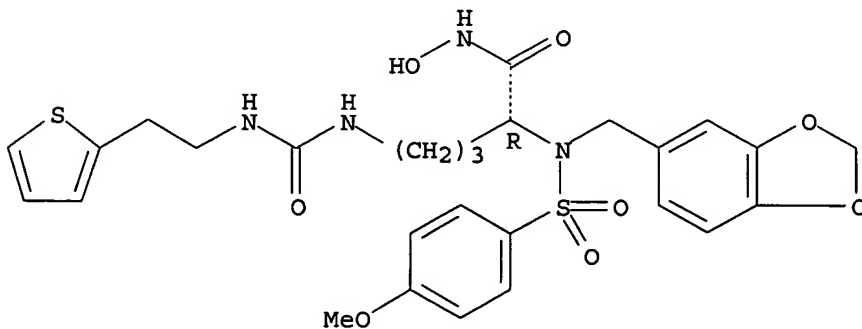
Absolute stereochemistry.



RN 371782-76-2 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[2-(2-thienyl)ethyl]amino]carbonyl]amino]-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

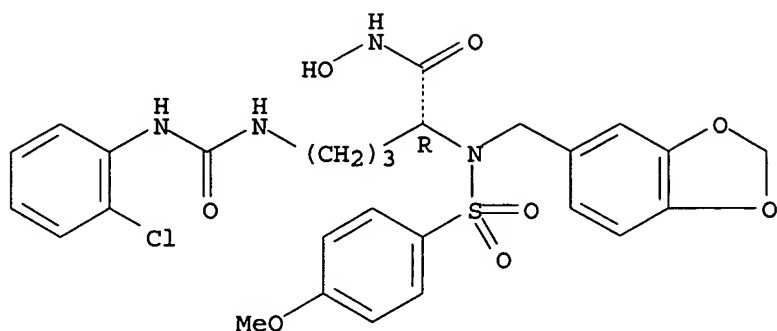


RN 371782-78-4 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(2-chlorophenyl)amino]carbonyl]amino]-N-[[2-(thiophen-2-yl)ethyl]amino]-, (2R)-(9CI) (CA INDEX NAME)

hydroxy-, (2R)- (9CI) (CA INDEX NAME)

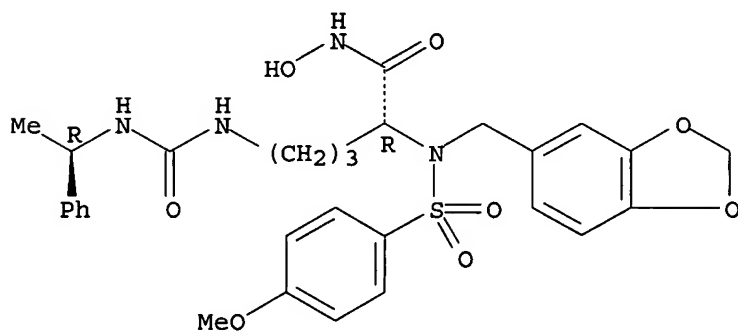
Absolute stereochemistry.



RN 371782-79-5 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

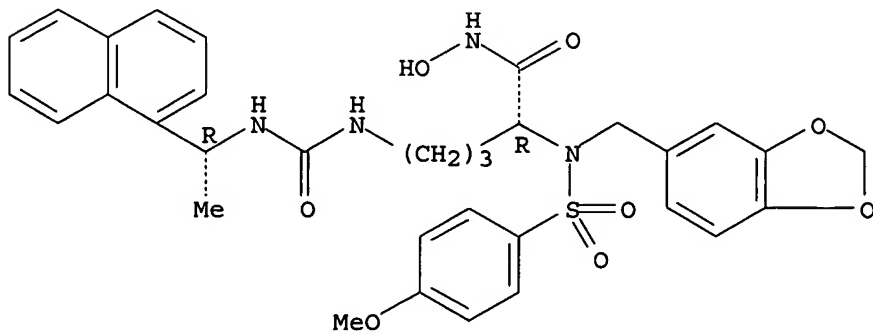
Absolute stereochemistry.



RN 371782-81-9 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

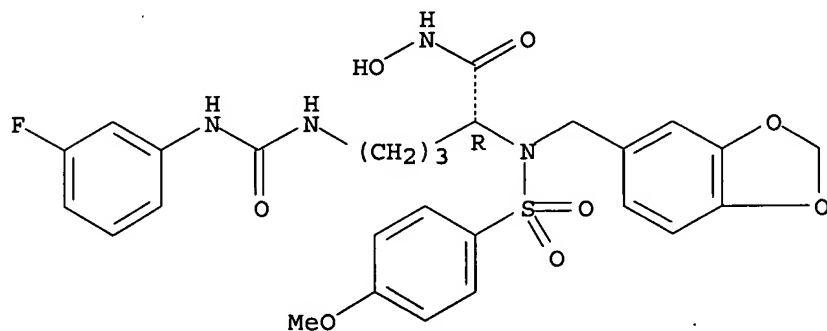
Absolute stereochemistry.



RN 371782-82-0 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(3-fluorophenyl)amino]carbonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

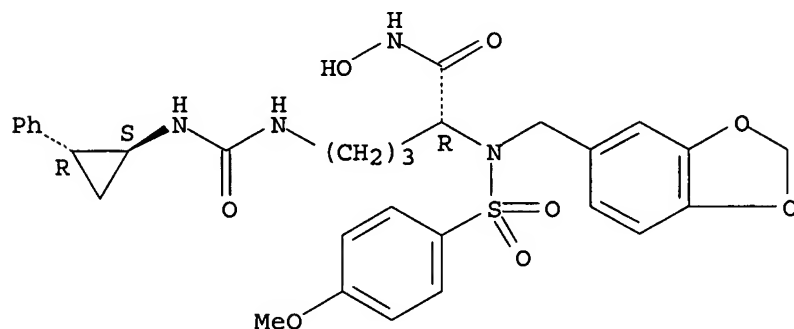
Absolute stereochemistry.



RN 371782-83-1 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]amino]-, (2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

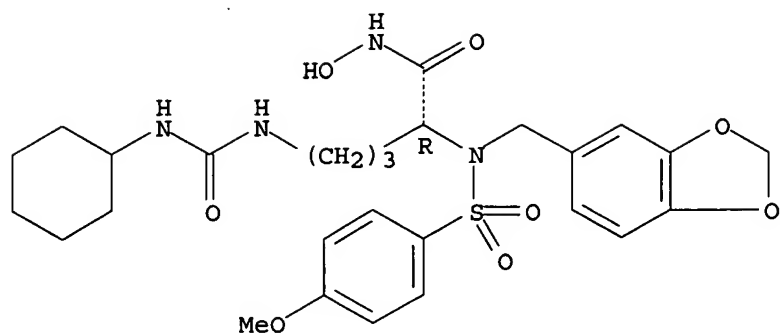


RN 371782-84-2 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(cyclohexylamino)carbonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

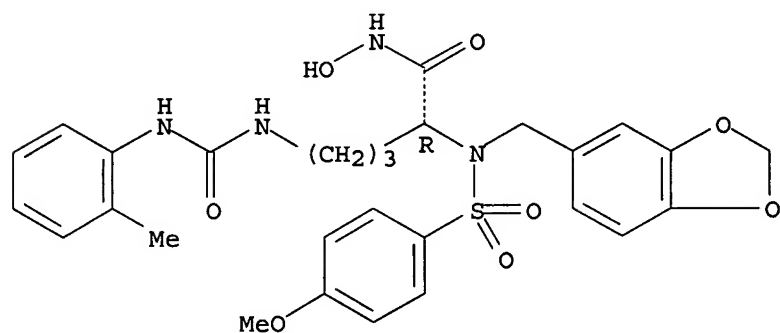




RN 371782-85-3 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(2-methylphenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

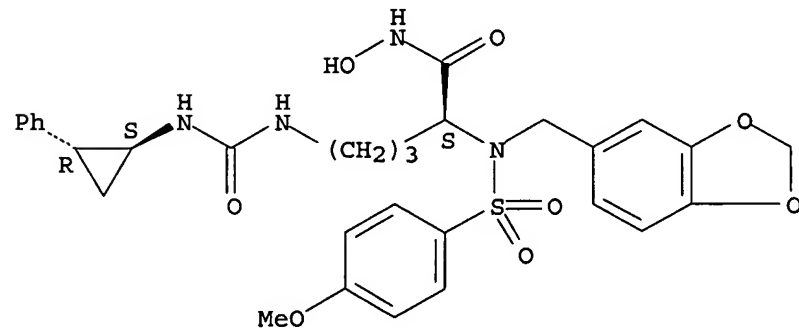
Absolute stereochemistry.



RN 371782-86-4 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R,2S)-2-phenylcyclopropyl]amino]carbonyl]amino]-, (2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

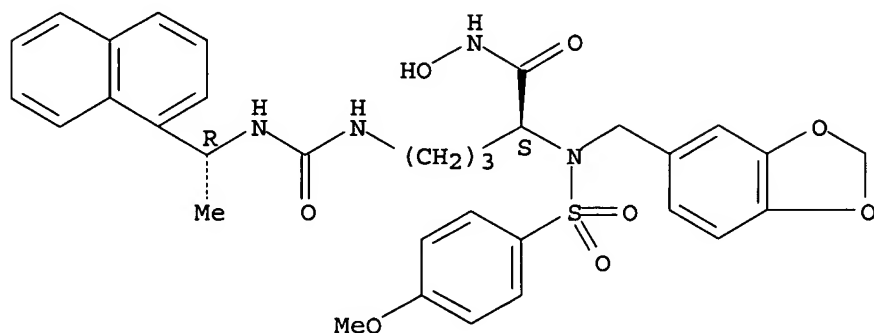


RN 371782-87-5 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-(1-phenylethyl)ethyl]amino]carbonyl]amino]-, (2R)- (9CI)

naphthalenyl)ethyl]amino]carbonyl]amino]-, (2S)-. (9CI) (CA INDEX NAME)

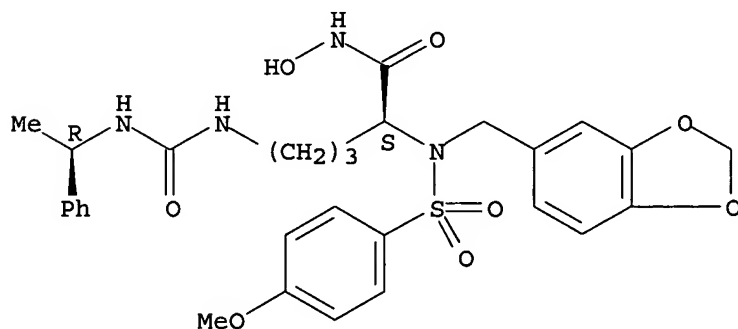
Absolute stereochemistry.



RN 371782-88-6 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

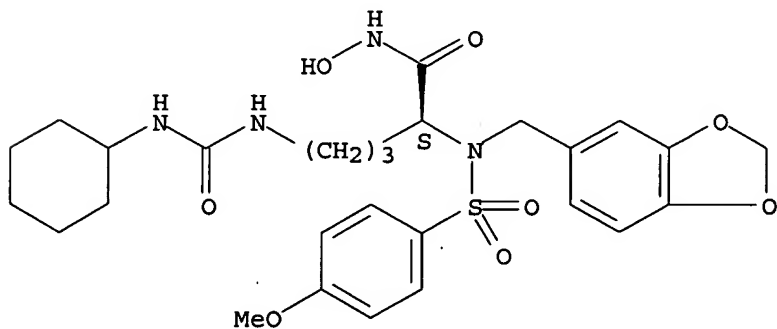
Absolute stereochemistry.



RN 371782-89-7 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(cyclohexylamino)carbonyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

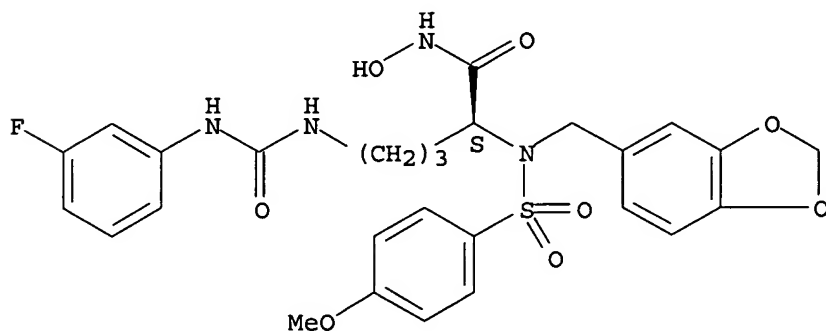
Absolute stereochemistry.



RN 371782-90-0 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(3-fluorophenyl)amino]carbonyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

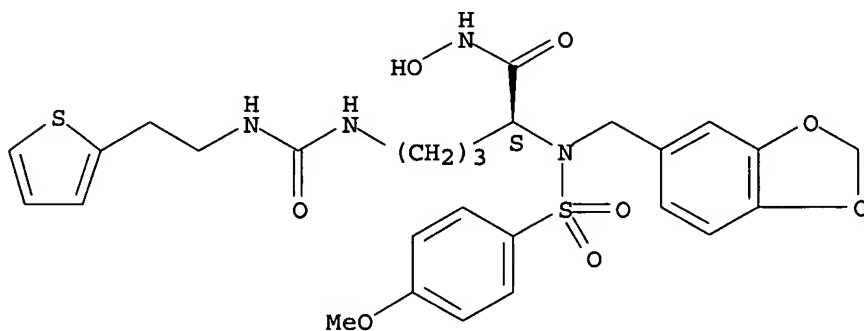
Absolute stereochemistry.



RN 371782-91-1 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[2-(2-thienyl)ethyl]amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

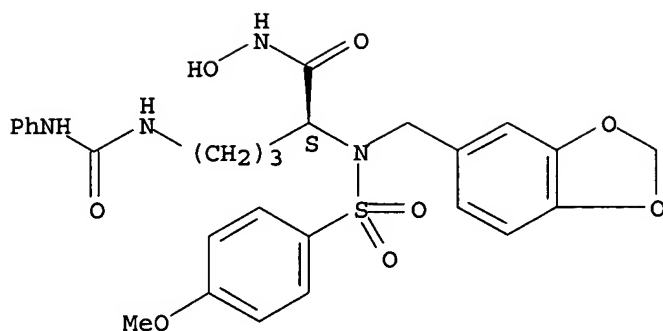
Absolute stereochemistry.



RN 371782-93-3 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[phenylamino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

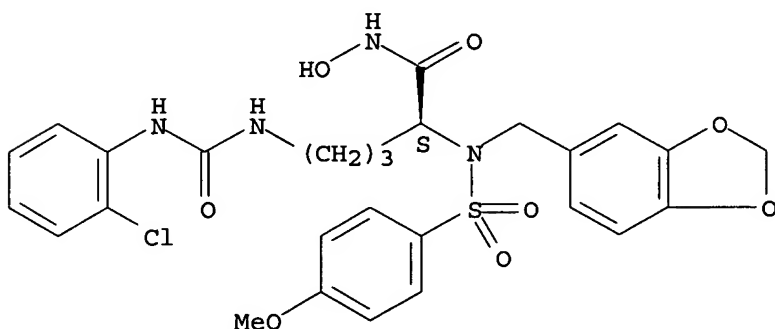
Absolute stereochemistry.



RN 371782-94-4 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(2-chlorophenyl)amino]carbonyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

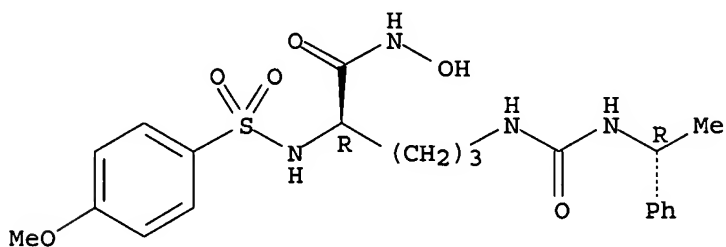
Absolute stereochemistry.



RN 371782-95-5 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

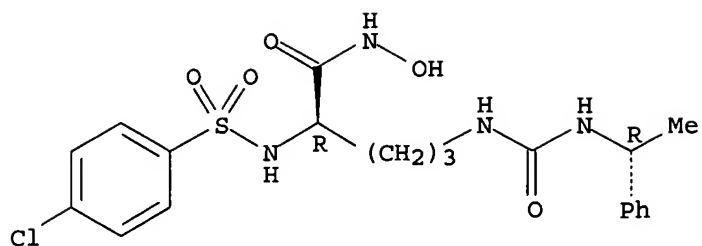
Absolute stereochemistry.



RN 371782-96-6 CAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

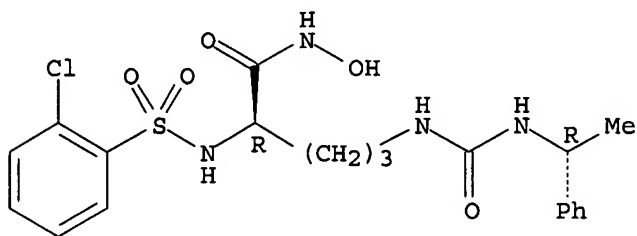
Absolute stereochemistry.



RN 371782-97-7 CAPLUS

CN Pentanamide, 2-[[[(2-chlorophenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

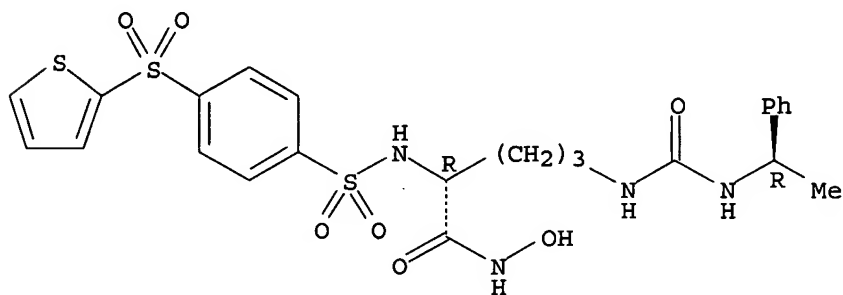
Absolute stereochemistry.



RN 371782-98-8 CAPLUS

CN Pentanamide, N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-2-[[[4-(2-thienylsulfonyl)phenyl]sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

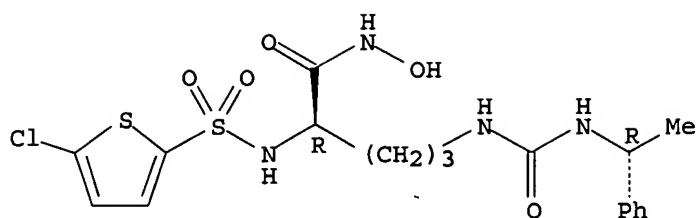
Absolute stereochemistry.



RN 371782-99-9 CAPLUS

CN Pentanamide, 2-[[[(5-chloro-2-thienyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

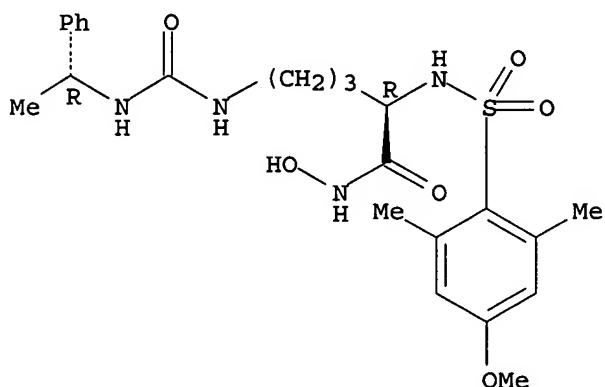
Absolute stereochemistry.



RN 371783-00-5 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxy-2,6-dimethylphenyl)sulfonyl]amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

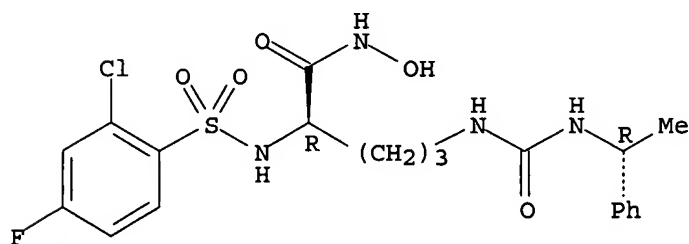
Absolute stereochemistry.



RN 371783-01-6 CAPLUS

CN Pentanamide, 2-[[[(2-chloro-4-fluorophenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

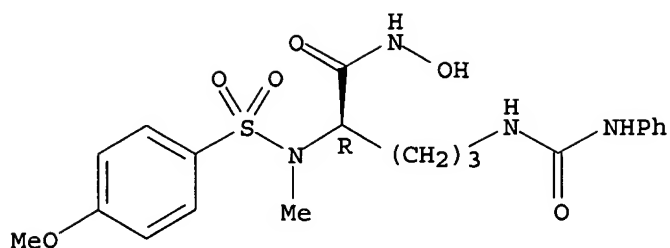
Absolute stereochemistry.



RN 371783-10-7 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-5-[[[(phenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

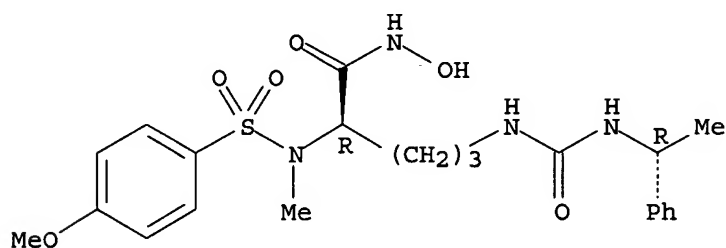
Absolute stereochemistry.



RN 371783-11-8 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

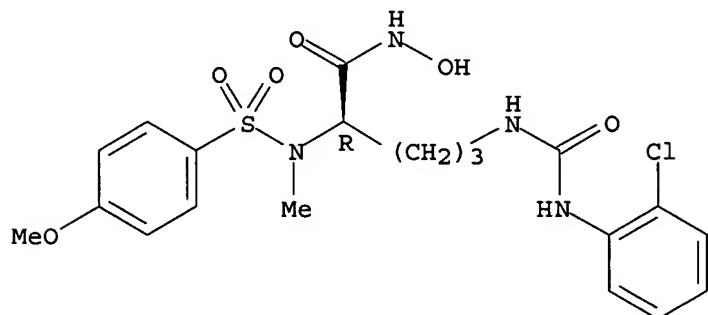
Absolute stereochemistry.



RN 371783-12-9 CAPLUS

CN Pentanamide, 5-[[[(2-chlorophenyl)amino]carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB A discussion of the solid-phase synthesis of ornithine derived sulfonamide hydroxamic acids is illustrated. A large number of libraries were prepared, and various substituted ornithine derivs. with and without a substituent on the nitrogen of the sulfonamide was investigated. These analogs are shown to be potent, non-peptide inhibitors of procollagen C-proteinase (PCP).

AN 2001:612016 CAPLUS

DN 135:344719

TI Amino acid derived sulfonamide hydroxamates as inhibitors of procollagen

C-proteinase: solid-phase synthesis of ornithine analogues

AU Dankwardt, S. M.; Martin, R. L.; Chan, C. S.; Van Wart, H. E.; Walker, K. A. M.; Delaet, N. G.; Robinson, L. A.

CS Inflammatory Diseases Unit, Roche Bioscience, Palo Alto, CA, 94304, USA

SO Bioorganic & Medicinal Chemistry Letters (2001), 11(16), 2085-2088

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 135:344719

IT 371782-59-1P 371782-60-4P 371782-61-5P  
 371782-95-5P 371782-96-6P 371782-97-7P  
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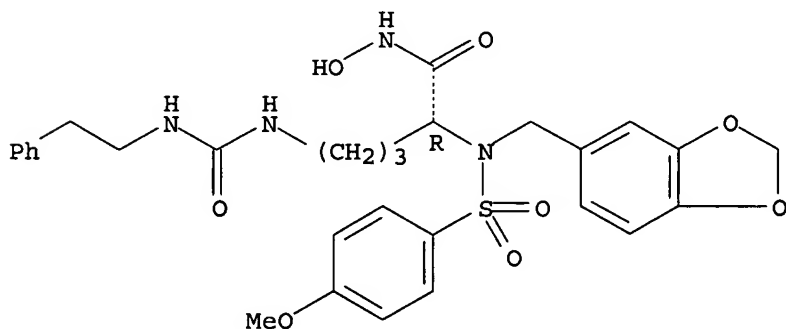
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(solid-phase synthesis of libraries of ornithine analogs sulfonamides as procollagen C-proteinase inhibitors)

RN 371782-59-1 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(2-phenylethyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

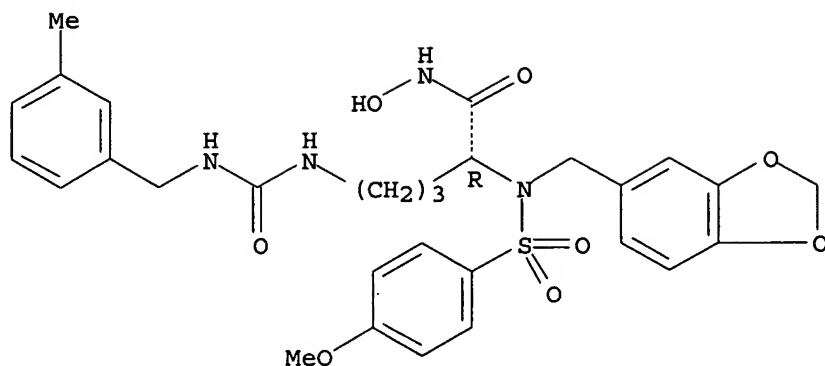


RN 371782-60-4 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(3-methylphenyl)methyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

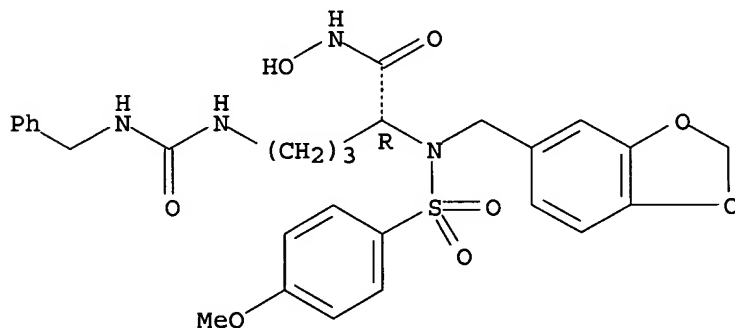




RN 371782-61-5 CAPLUS

CN Pentanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-5-[[[(phenylmethyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

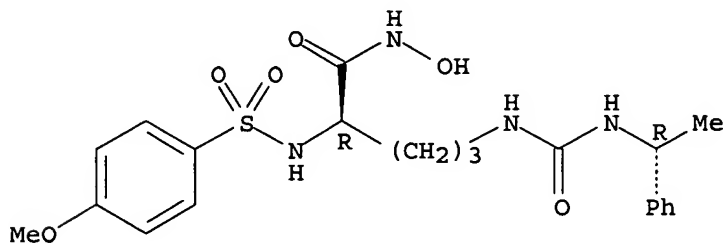
Absolute stereochemistry.



RN 371782-95-5 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

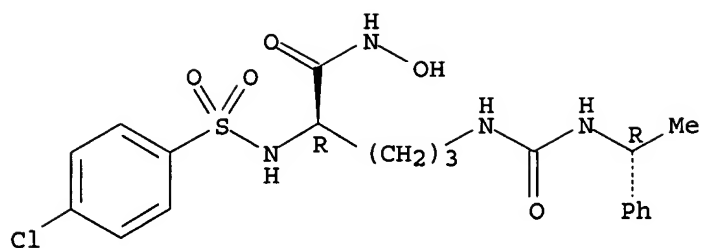
Absolute stereochemistry.



RN 371782-96-6 CAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

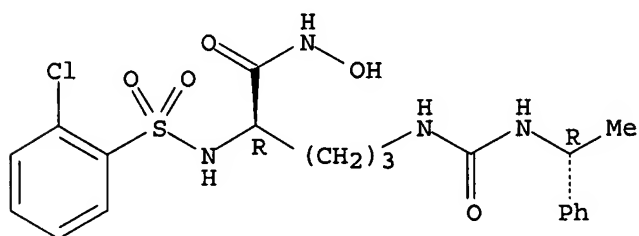
Absolute stereochemistry.



RN 371782-97-7 CAPLUS

CN Pentanamide, 2-[(2-chlorophenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

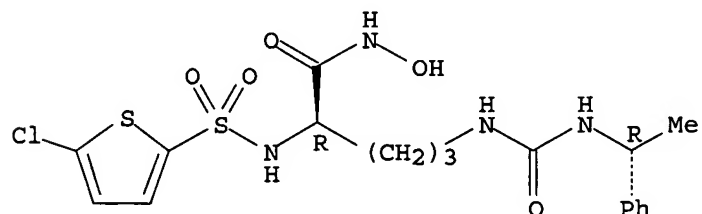
Absolute stereochemistry.



RN 371782-99-9 CAPLUS

CN Pentanamide, 2-[(5-chloro-2-thienyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

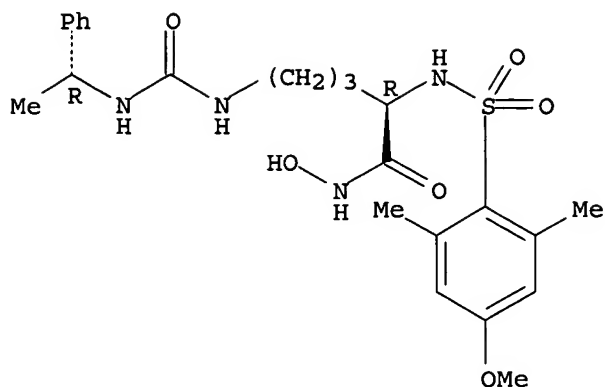
Absolute stereochemistry.



RN 371783-00-5 CAPLUS

CN Pentanamide, N-hydroxy-2-[(4-methoxy-2,6-dimethylphenyl)sulfonyl]amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

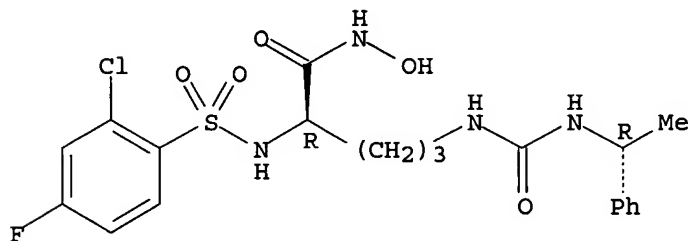
Absolute stereochemistry.



RN 371783-01-6 CAPLUS

CN Pentanamide, 2-[[[(2-chloro-4-fluorophenyl)sulfonyl]amino]-N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

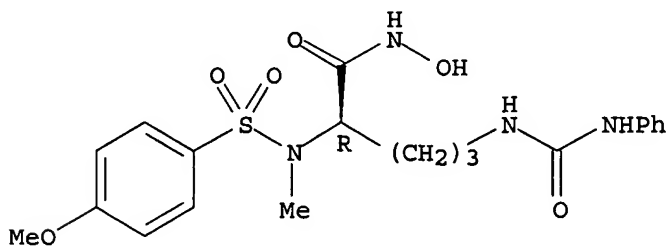
Absolute stereochemistry.



RN 371783-10-7 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-5-[[[(phenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

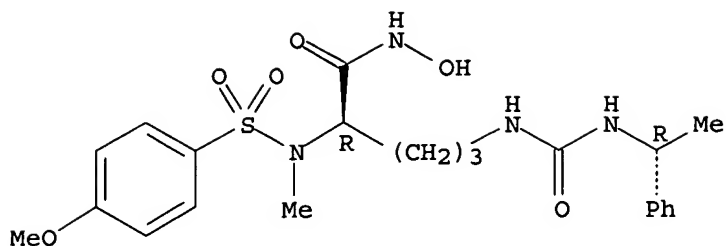
Absolute stereochemistry.



RN 371783-11-8 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

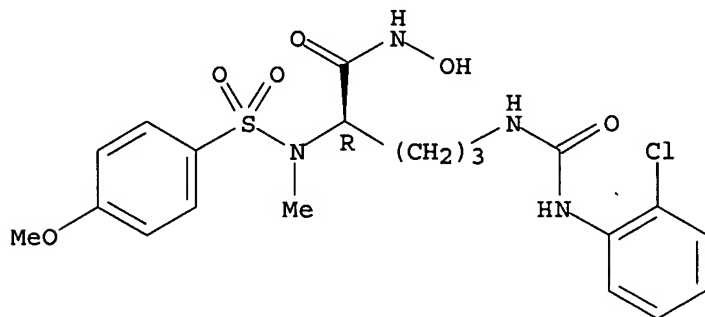
Absolute stereochemistry.



RN 371783-12-9 CAPLUS

CN Pentanamide, 5-[[[(2-chlorophenyl)amino]carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-, (2R)- (9CI) (CA INDEX NAME)

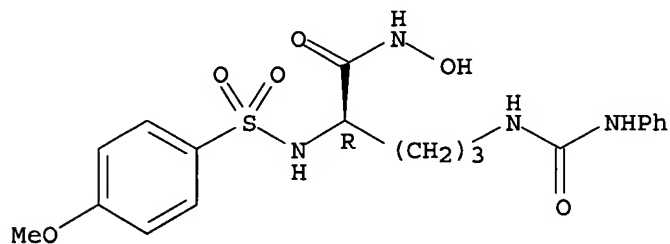
Absolute stereochemistry.



RN 644967-84-0 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(phenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

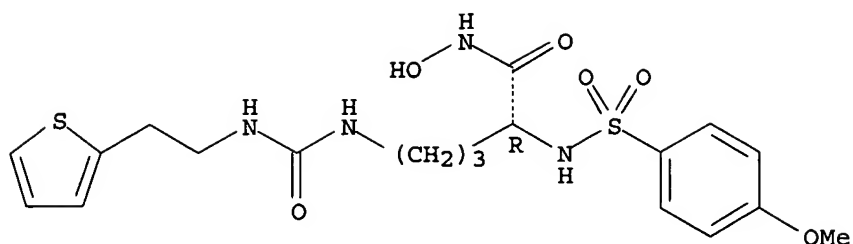
Absolute stereochemistry.



RN 644967-95-3 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[2-(2-thienyl)ethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

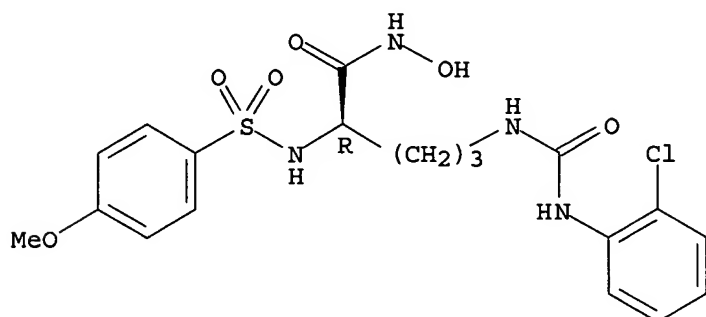
Absolute stereochemistry.



RN 644971-02-8 CAPLUS

CN Pentanamide, 5-[[[(2-chlorophenyl)amino]carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

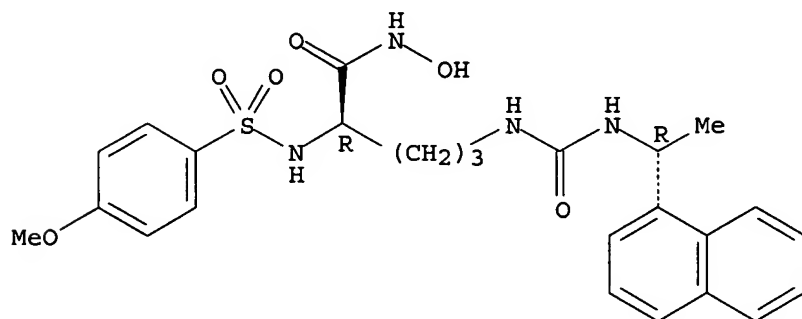
Absolute stereochemistry.



RN 644973-32-0 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

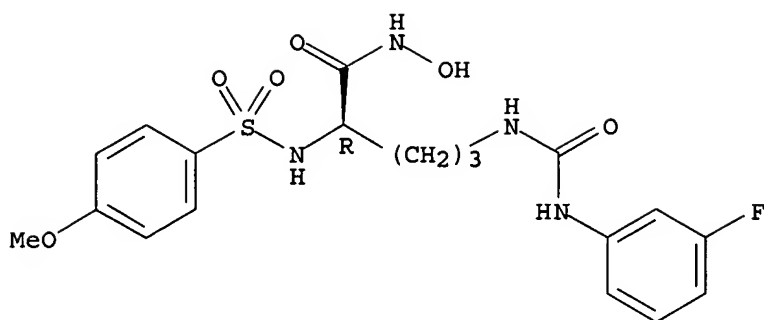
Absolute stereochemistry.



RN 644976-69-2 CAPLUS

CN Pentanamide, 5-[[[(3-fluorophenyl)amino]carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

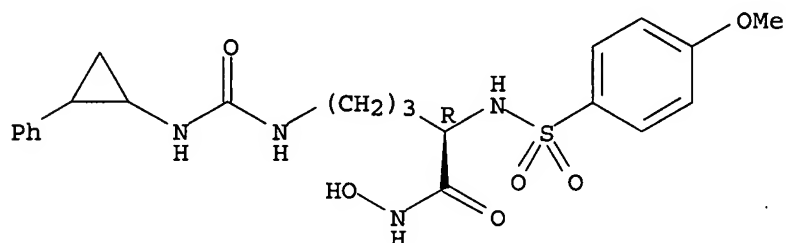
Absolute stereochemistry.



RN 644977-47-9 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(2-phenylcyclopropyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

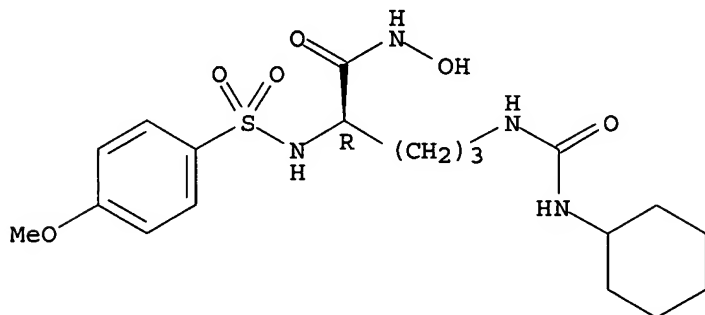
Absolute stereochemistry.



RN 644978-64-3 CAPLUS

CN Pentanamide, 5-[[[(cyclohexylamino)carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

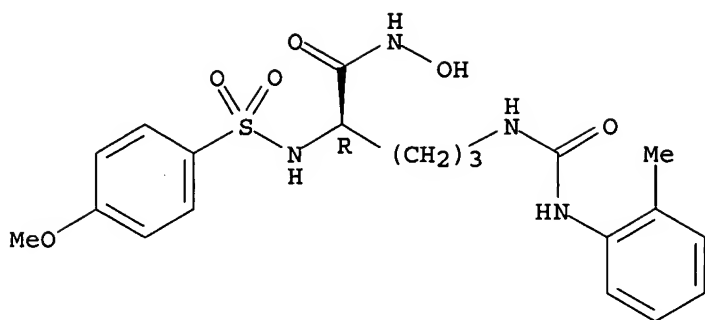
Absolute stereochemistry.



RN 644978-70-1 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(2-methylphenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

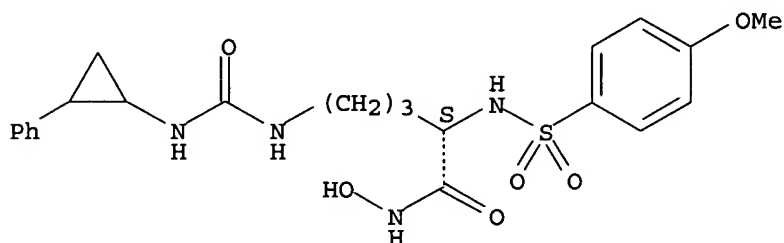
Absolute stereochemistry.



RN 644978-90-5 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[4-methoxyphenyl)sulfonyl]amino]-5-[[[(2-phenylcyclopropyl)amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

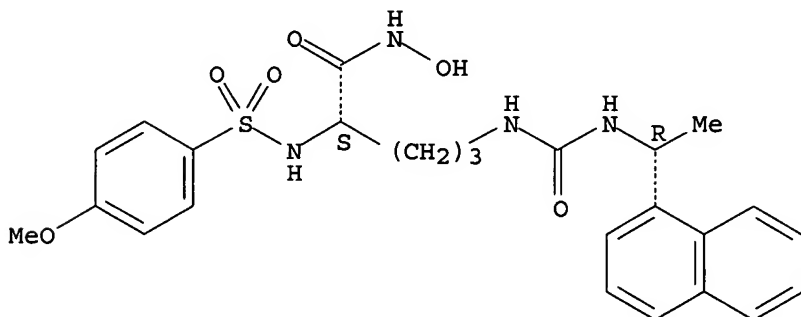
Absolute stereochemistry.



RN 644988-64-7 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[4-methoxyphenyl)sulfonyl]amino]-5-[[[(1R)-1-(1-naphthalenyl)ethyl]amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

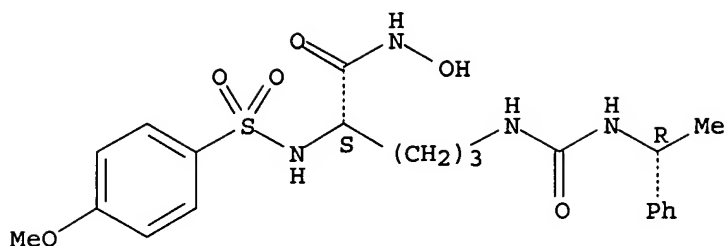
Absolute stereochemistry.



RN 644988-67-0 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[4-methoxyphenyl)sulfonyl]amino]-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

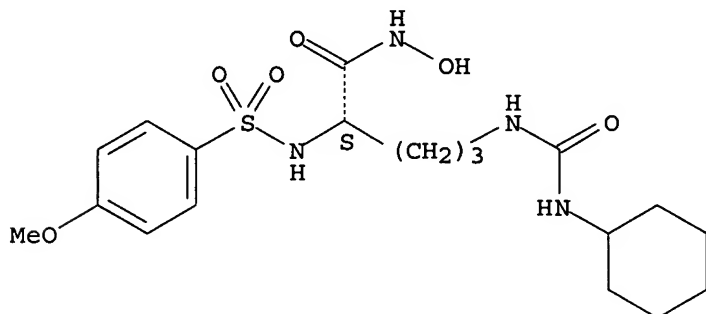
Absolute stereochemistry.



RN 644988-84-1 CAPLUS

CN Pentanamide, 5-[[[(cyclohexylamino)carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

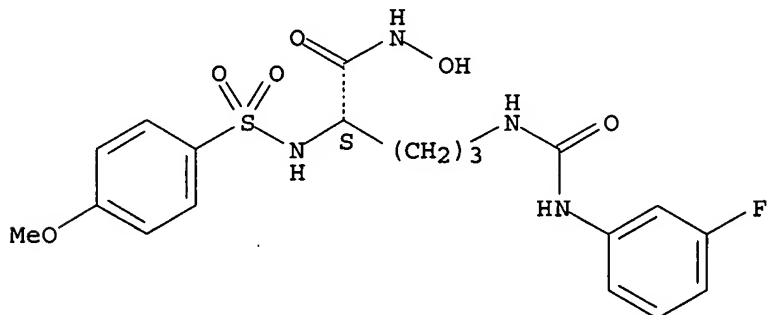
Absolute stereochemistry.



RN 644988-85-2 CAPLUS

CN Pentanamide, 5-[[[(3-fluorophenyl)amino]carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

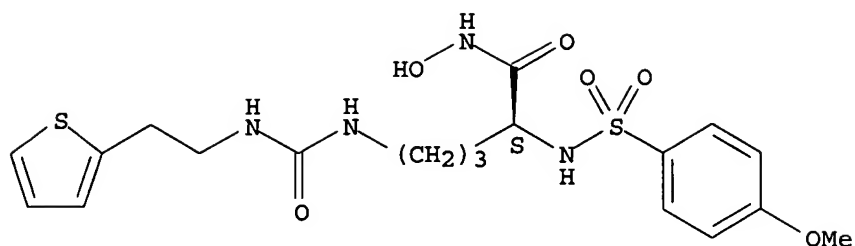


RN 644988-86-3 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[2-(2-thienyl)ethyl]amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

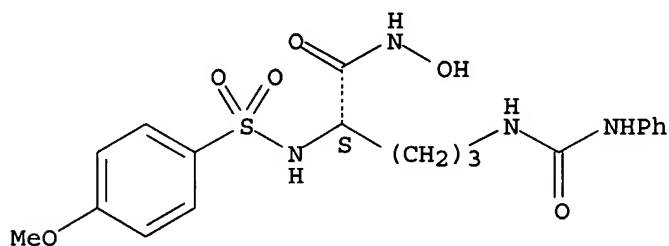




RN 644989-37-7 CAPLUS

CN Pentanamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-5-[[[(phenylamino)carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)]

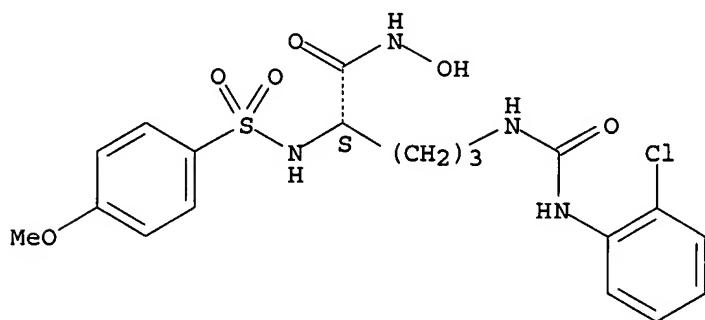
Absolute stereochemistry.



RN 644989-55-9 CAPLUS

CN Pentanamide, 5-[[[(2-chlorophenyl)amino]carbonyl]amino]-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)]

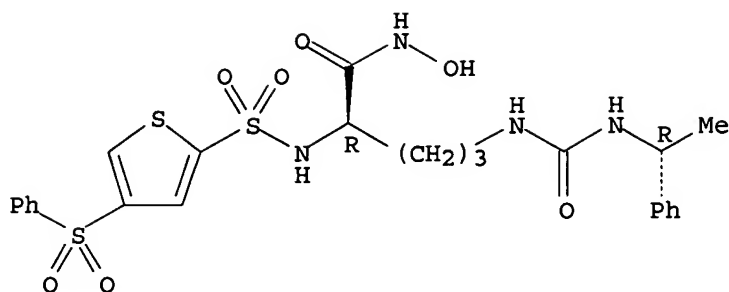
Absolute stereochemistry.



RN 644990-67-0 CAPLUS

CN Pentanamide, N-hydroxy-5-[[[(1R)-1-phenylethyl]amino]carbonyl]amino]-2-[[[(4-methoxyphenyl)sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)]

Absolute stereochemistry.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB A series of sulfonyl amino acyl hydroxamates incorporating alkyl/arylsulfonyl-N-2-nitrobenzyl-L-alanine was prepared. Related compounds were obtained by reaction of N-2-nitrobenzyl-L-Ala with aryl isocyanates, arylsulfonyl isocyanates, or benzoyl isothiocyanate, followed by the conversion of the COOH into the CONHOH moiety. The new compounds were assayed as inhibitors of the *Clostridium histolyticum* collagenase (ChC), a bacterial protease involved in the degradation of extracellular matrix. Many of the obtained hydroxamates proved to be effective bacterial collagenase inhibitors, the main contributor to activity being the substitution pattern at the sulfonamido moiety. The best ChC inhibitors were those containing pentafluorophenylsulfonyl and 3- and 4-protected-aminophenylsulfonyl P1' groups among others, with affinities in the low nanomolar range. This study also proves that the 2-nitrobenzyl- moiety, similarly to the 4-nitrobenzyl one previously investigated is an efficient P2' anchoring moiety for obtaining potent bacterial collagenase inhibitors.

AN 2001:381037 CAPLUS

DN 135:133815

TI Protease Inhibitors: Synthesis of a Series of Bacterial Collagenase Inhibitors of the Sulfonyl Amino Acyl Hydroxamate Type

AU Clare, Brian W.; Scozzafava, Andrea; Supuran, Claudiu T.

CS Department of Chemistry, The University of Western Australia, 6009, Australia

SO Journal of Medicinal Chemistry (2001), 44(13), 2253-2258

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 135:133815

IT 351527-70-3P 351527-71-4P 351527-72-5P

351527-73-6P 351527-74-7P 351527-75-8P

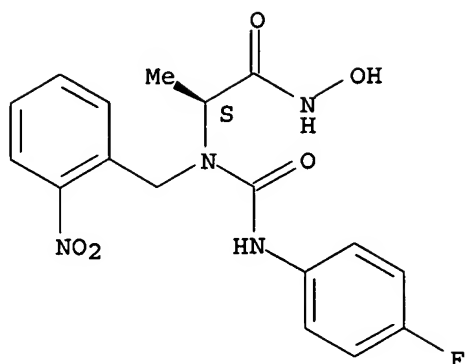
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of a series of bacterial collagenase inhibitors of the sulfonyl amino acyl hydroxamate type)

RN 351527-70-3 CAPLUS

CN Propanamide, 2-[[[(4-fluorophenyl)amino]carbonyl]][(2-nitrophenyl)methyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

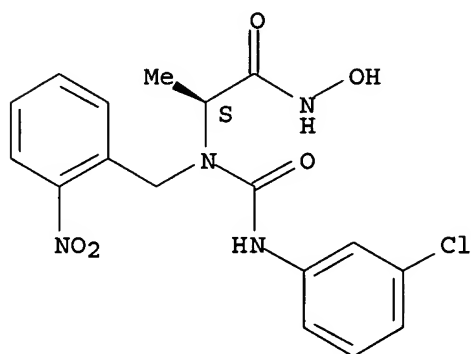
Absolute stereochemistry.



RN 351527-71-4 CAPLUS

CN Propanamide, 2-[[[(3-chlorophenyl)amino]carbonyl] [(2-nitrophenyl)methyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

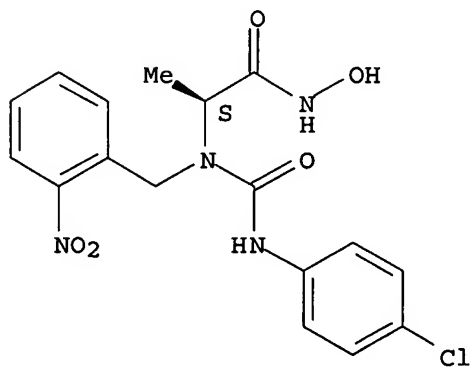
Absolute stereochemistry.



RN 351527-72-5 CAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl] [(2-nitrophenyl)methyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

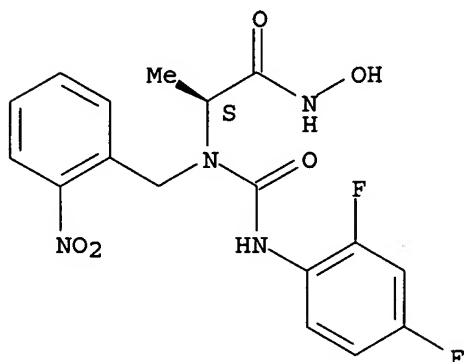


RN 351527-73-6 CAPLUS

CN Propanamide, 2-[[[(2,4-difluorophenyl)amino]carbonyl] [(2-

nitrophenyl)methyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

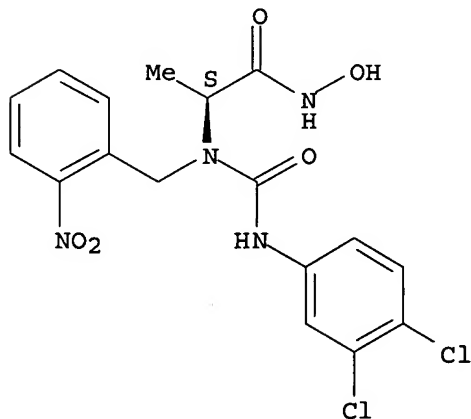
Absolute stereochemistry.



RN 351527-74-7 CAPLUS

CN Propanamide, 2-[[[(3,4-dichlorophenyl)amino]carbonyl][(2-nitrophenyl)methyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

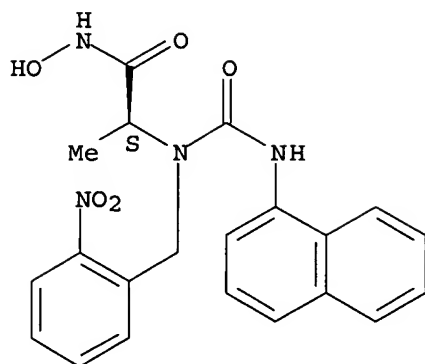
Absolute stereochemistry.



RN 351527-75-8 CAPLUS

CN Propanamide, N-hydroxy-2-[[[(1-naphthalenylamino)carbonyl][(2-nitrophenyl)methyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
AB Amino acid derivs. HONHCOCR1R2NR3-X-Y-Z-CR4R5C.tplbond.CR6 [X = SO2, P(O)R10, where R10 = alkyl, cycloalkyl, aryl, heteroaryl; Y = aryl, heteroaryl, with the proviso that X and Z may not be bonded to adjacent atoms of Y; Z = O, NH, CH2, S; R1 = H, aryl, alkyl, alkenyl, alkynyl; R2 = any group given for R1, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl or R1 and R2 may form a ring; R3 = H, alkyl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl or R1 and R3 may form a ring; R4, R5 = H, alkyl, CN, C.tplbond.CH; R6 = any group given for R1, heteroaryl, cycloalkyl, cycloheteroalkyl] or pharmaceutically acceptable salts were prepared as inhibitors of TNF- $\alpha$  converting enzyme (TACE). Thus, 2-[(4-but-2-ynyloxybenzenesulfonyl)methylamino]-N-hydroxy-3-methylbutyramide was prepared and showed IC50 = 7.4 nM for inhibition of TACE.

AN 2001:314178 CAPLUS

DN 134:326767

TI Preparation of acetylenic  $\alpha$ -amino acid-based sulfonamide hydroxamic acid TACE inhibitors

IN Levin, Jeremy I.; Chen, James M.; Cole, Derek C.; Du, Mila T.; Laakso, Leif M.

PA American Cyanamid Company, USA

SO U.S., 109 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

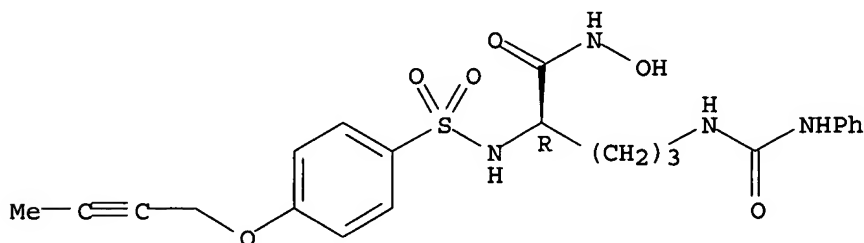
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6225311	B1	20010501	US 2000-492691	20000127 <--
	US 2003008849	A1	20030109	US 2000-748912	20001227 <--
	US 2003212049	A1	20031113	US 2003-376871	20030227 <--
	US 6716833	B2	20040406		
	US 2004033988	A1	20040219	US 2003-377008	20030227
	US 6812227	B2	20041102		
	US 2005113346	A1	20050526	US 2004-977962	20041029
PRAI	US 1999-155249P	P	19990127		
	US 2000-492691	A3	20000127		
	US 2000-748912	B1	20001227		
	US 2003-377008	A1	20030227		
OS	MARPAT 134:326767				
IT	287406-64-8P 287406-68-2P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of acetylenic  $\alpha$ -amino acid-based sulfonamide hydroxamic acid TACE inhibitors)

RN 287406-64-8 CAPLUS

CN Pentanamide, 2-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-5-[[[phenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

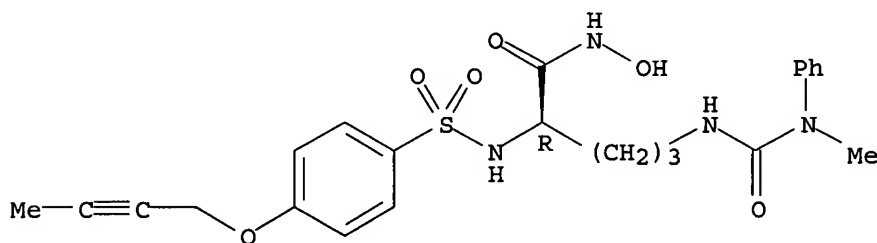
Absolute stereochemistry.



RN 287406-68-2 CAPLUS

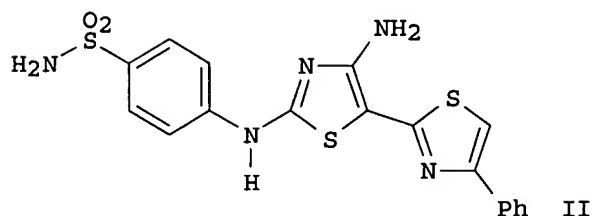
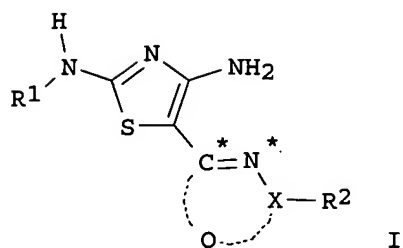
CN Pentanamide, 2-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-5-[[[methylphenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 = OH, halo, CN, etc.; X = C, N; Q = a divalent radical having 2 or 3 atoms selected from C, N, O, S, CR5, NR5 (wherein R5 = OH, halo, CN, etc.) which together with C\* and N\* form a 5-6 membered (non)aromatic ring] which modulate and/or inhibit the activity of certain protein kinases (biol. data were given), and are useful in treating cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, were prepared and formulated. E.g., a multi-step synthesis of diaminothiazole II was given. The compds. I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction in order to modulate and/or inhibit unwanted cell proliferation.

AN 2000:881130 CAPLUS

DN 134:42124

TI Preparation of diaminothiazoles for inhibiting protein kinases

IN Chu, Shao Song; Alegria, Larry Andrew; Bender, Steven Lee; Benedict, Suzanne Pritchett; Borchardt, Allen J.; Kania, Robert Steve; Nambu, Mitchell David; Tempczyk-Russell, Anna Maria; Sarshar, Sepehr

PA Agouron Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 397 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075120	A1	20001214	WO 2000-US15188	20000602 <--
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2371158	AA	20001214	CA 2000-2371158	20000602 <--
EP 1181283	A1	20020227	EP 2000-942660	20000602 <--
EP 1181283	B1	20050202		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

BR 2000011585	A	20020319	BR 2000-11585	20000602 <--
JP 2003501420	T2	20030114	JP 2001-501601	20000602 <--
EE 200100659	A	20030217	EE 2001-659	20000602 <--
AU 778071	B2	20041111	AU 2000-57254	20000602
AT 288424	E	20050215	AT 2000-942660	20000602
ES 2234628	T3	20050701	ES 2000-942660	20000602
US 2002025976	A1	20020228	US 2001-783584	20010215 <--
US 6620828	B2	20030916		
ZA 2001008291	A	20021009	ZA 2001-8291	20011009 <--
NO 2001005045	A	20020204	NO 2001-5045	20011017 <--
BG 106276	A	20021031	BG 2002-106276	20020103 <--

PRAI US 1999-137810P P 19990604  
US 2000-587530 B1 20000602  
WO 2000-US15188 W 20000602

OS MARPAT 134:42124

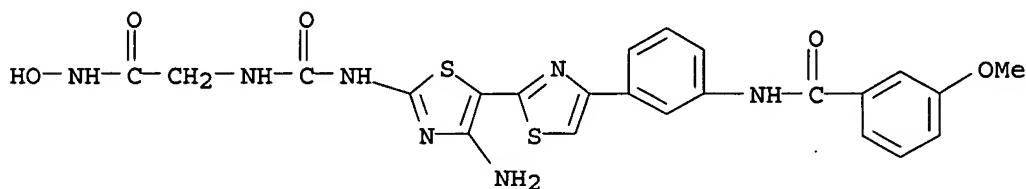
IT 312767-61-6 312768-38-0 312769-15-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of diaminothiazoles for inhibiting protein kinases)

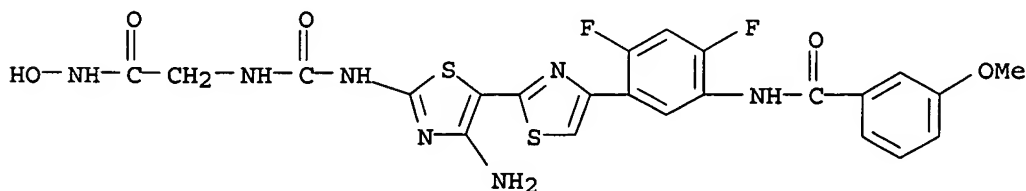
RN 312767-61-6 CAPLUS

CN Benzamide, N-[3-[4'-amino-2'-[[[[2-(hydroxyamino)-2-oxoethyl]amino]carbonyl]amino][2,5'-bithiazol]-4-yl]phenyl]-3-methoxy-(9CI) (CA INDEX NAME)



RN 312768-38-0 CAPLUS

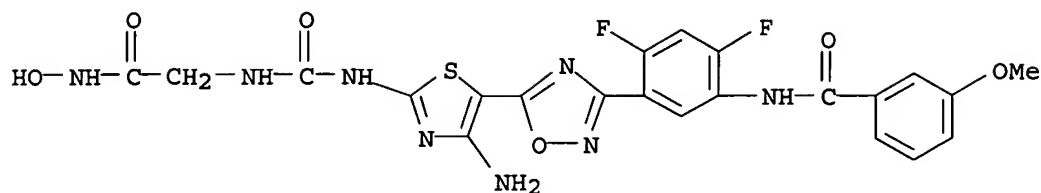
CN Benzamide, N-[5-[4'-amino-2'-[[[[2-(hydroxyamino)-2-oxoethyl]amino]carbonyl]amino][2,5'-bithiazol]-4-yl]-2,4-difluorophenyl]-3-methoxy-(9CI) (CA INDEX NAME)



RN 312769-15-6 CAPLUS

CN Benzamide, N-[5-[5-[4-amino-2'-[[[[2-(hydroxyamino)-2-oxoethyl]amino]carbonyl]amino]-5-thiazolyl]-1,2,4-oxadiazol-3-yl]-2,4-difluorophenyl]-3-methoxy-(9CI) (CA INDEX NAME)





RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
AB Amino acid derivs. HONHCOCR1R2NR3-X-Y-Z-CR4R5C.tplbond.CR6 [X = SO2, P(O)R10, where R10 = alkyl, cycloalkyl, aryl, heteroaryl; Y = aryl, heteroaryl, with the proviso that X and Z may not be bonded to adjacent atoms of Y; Z = O, NH, CH2, S; R1 = H, aryl, alkyl, alkenyl, alkynyl; R2 = any group given for R1, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, cycloheteroalkyl or R1 and R2 may form a ring; R3 = H, alkyl, cycloalkyl, cycloheteroalkyl, aralkyl, heteroaralkyl or R1 and R3 may form a ring; R4, R5 = H, alkyl, CN, C.tplbond.CH; R6 = any group given for R1, heteroaryl, cycloalkyl, cycloheteroalkyl] or pharmaceutically acceptable salts were prepared as inhibitors of TNF- $\alpha$  converting enzyme (TACE). Thus, 2-[(4-but-2-ynyloxybenzenesulfonyl)methylamino]-N-hydroxy-3-methylbutyramide was prepared and showed IC50 = 7.4 nM for inhibition of TACE.

AN 2000:535102 CAPLUS

DN 133:150908

TI Preparation of acetylenic  $\alpha$ -amino acid-based sulfonamide hydroxamic acid TACE inhibitors

IN Levin, Jeremy Ian; Chen, James Ming; Cole, Derek Cecil

PA American Cyanamid Company, USA

SO PCT Int. Appl., 293 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000044709	A2	20000803	WO 2000-US1981	20000127 <--
	WO 2000044709	A3	20001221		
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	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	CA 2356299	AA	20000803	CA 2000-2356299	20000127 <--
	EP 1144368	A2	20011017	EP 2000-905750	20000127 <--
	EP 1144368	B1	20040714		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
	BR 2000007752	A	20011204	BR 2000-7752	20000127 <--
	TR 200102132	T2	20020121	TR 2001-200102132	20000127 <--
	JP 2002535382	T2	20021022	JP 2000-595966	20000127 <--

AU 766717	B2	20031023	AU 2000-27384	20000127 <--
NZ 511928	A	20031128	NZ 2000-511928	20000127 <--
TW 593247	B	20040621	TW 2000-89101287	20000127
AT 271035	E	20040715	AT 2000-905750	20000127
PT 1144368	T	20040930	PT 2000-905750	20000127
CN 1550496	A	20041201	CN 2004-10032424	20000127
ES 2225089	T3	20050316	ES 2000-905750	20000127
ZA 2001004326	A	20020826	ZA 2001-4326	20010525 <--
NO 2001003674	A	20010924	NO 2001-3674	20010726 <--
BG 105738	A	20020531	BG 2001-105738	20010726 <--
HK 1038735	A1	20050107	HK 2002-100184	20020110
PRAI US 1999-238255	A	19990127		
WO 2000-US1981	W	20000127		

OS MARPAT 133:150908

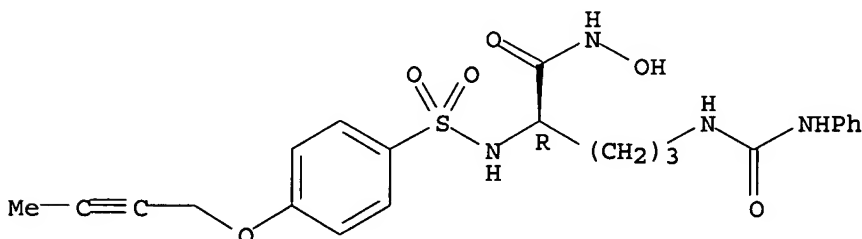
IT 287406-64-8P 287406-68-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of acetylenic  $\alpha$ -amino acid-based sulfonamide hydroxamic acid TACE inhibitors)

RN 287406-64-8 CAPLUS

CN Pentanamide, 2-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-5-[[[(phenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

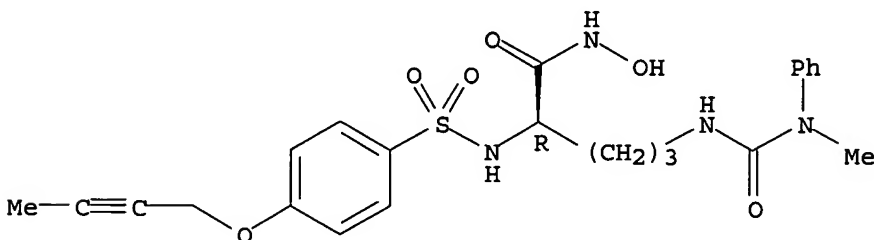
Absolute stereochemistry.



RN 287406-68-2 CAPLUS

CN Pentanamide, 2-[[[4-(2-butynyloxy)phenyl]sulfonyl]amino]-N-hydroxy-5-[[[(methylphenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB N-4-Nitrobenzyl- $\beta$ -alanine was reacted with alkyl/arylsulfonyl halides, followed by conversion of the COOH to the CONHOH group. Structurally related compds. were obtained by reaction of N-4-nitrobenzyl- $\beta$ -alanine with aryl isocyanates, arylsulfonyl

isocyanates or benzoyl isothiocyanate, followed by similar conversion of the COOH into the CONHOH moiety. Another subseries of derivs. was prepared from sulfanilyl- or metanilyl-4-nitrobenzyl- $\beta$ -alanine by reaction with arylsulfonyl isocyanates, followed by the introduction of the hydroxamate moiety. The new compds. were assayed as inhibitors of four matrix metalloproteinases (MMPs), MMP-1, MMP-2, MMP-8 and MMP-9, and of the *Clostridium histolyticum* collagenase (ChC). Some of the prepared hydroxamate derivs. proved to be very effective collagenase/gelatinase inhibitors, depending on the substitution pattern at the sulfonamido moiety. Substitutions leading to the best inhibitors of MMP-1, a short-pocket enzyme, were those involving pentafluorophenylsulfonyl or 3-trifluoromethyl-phenylsulfonyl at P1' (KI of 3-5 nM). For MMP-2, MMP-8 and MMP-9 (deep-pocket enzymes), the best inhibitors were those containing perfluoroalkylsulfonyl- and substituted-arylsulfonyl moieties, such as pentafluorophenylsulfonyl, 3- and 4-protected-aminophenylsulfonyl-, 3- and 4-carboxy-phenylsulfonyl-, arylsulfonylureido- or arylsulfonylureido-sulfanilyl-/metanilyl moieties at P1'. Bulkier groups in this position, such as 1- and 2-naphthyl-, substituted-naphthyl or quinoline-8-yl-moieties, among others, led to less effective MMP/ChC inhibitors. The best ChC inhibitors were again those containing pentafluorophenylsulfonyl, 3- and 4-protected-aminophenylsulfonyl P1' groups. This study demonstrates that the 4-nitrobenzyl moiety, investigated here for the first time, is an efficient P2' anchoring moiety, whereas the  $\beta$ -alanyl scaffold can successfully replace the  $\alpha$ -amino acyl one, for obtaining potent MMP/ChC inhibitors.

AN 2000:453771 CAPLUS

DN 133:234316

TI Protease inhibitors. Part 12. Synthesis of potent matrix metalloproteinase and bacterial collagenase inhibitors incorporating sulfonylated N-4-nitrobenzyl- $\beta$ -alanine hydroxamate moieties

AU Scozzafava, A.; Ilies, M. A.; Manole, G.; Supuran, C. T.

CS Università degli Studi, Laboratorio di Chimica Inorganica e Bioinorganica, Florence, I-50121, Italy

SO European Journal of Pharmaceutical Sciences (2000), 11(1), 69-79

CODEN: EPSCED; ISSN: 0928-0987

PB Elsevier Science Ireland Ltd.

DT Journal

LA English

IT 294200-72-9P 294200-73-0P 294200-74-1P

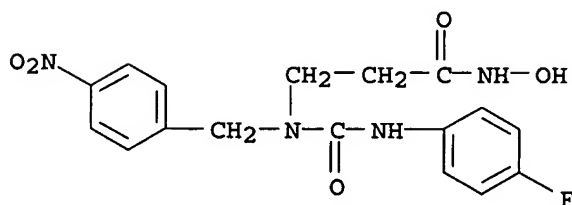
294200-75-2P 294200-76-3P 294200-77-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of potent matrix metalloproteinase and bacterial collagenase inhibitors incorporating sulfonylated nitrobenzylalanine hydroxamate moieties)

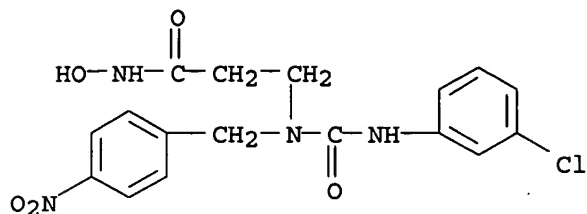
RN 294200-72-9 CAPLUS

CN Propanamide, 3-[[[(4-fluorophenyl)amino]carbonyl] [(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



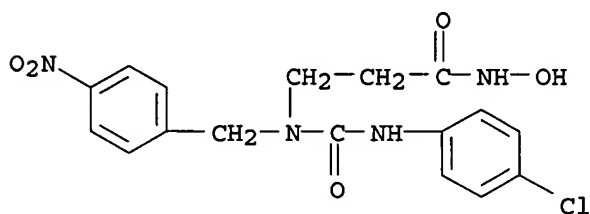
RN 294200-73-0 CAPLUS

CN Propanamide, 3-[[[(3-chlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



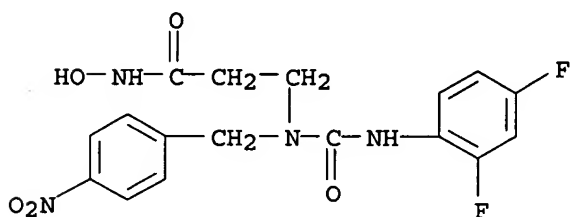
RN 294200-74-1 CAPLUS

CN Propanamide, 3-[[[(4-chlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



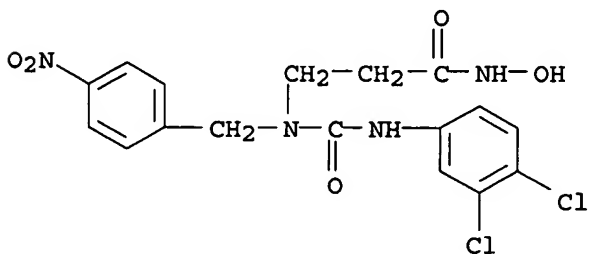
RN 294200-75-2 CAPLUS

CN Propanamide, 3-[[[(2,4-difluorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

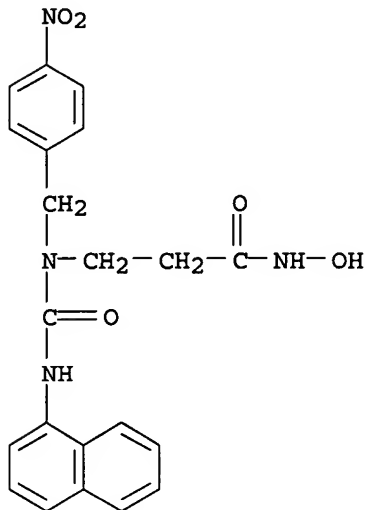


RN 294200-76-3 CAPLUS

CN Propanamide, 3-[[[(3,4-dichlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 294200-77-4 CAPLUS  
 CN Propanamide, N-hydroxy-3-[[[(1-naphthalenylamino)carbonyl][(4-nitrophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

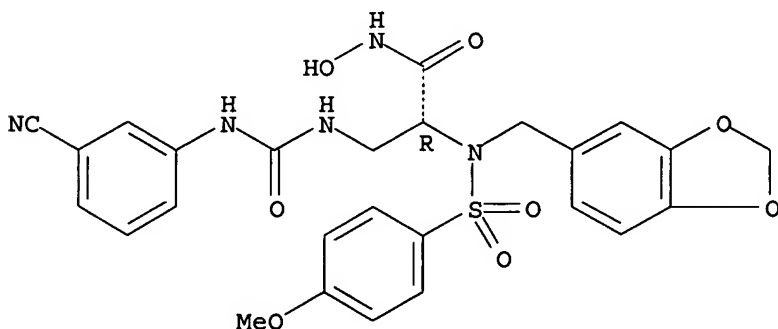
L5 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB HOHNCOCHR1NRSO2Ar2 [R1 = alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl, aralkyl, aralkenyl, heteroaryl, heteroaralkyl, aminl, aryl, aralkyl, etc.; R = CHR2Ar1, CHR2CH:CHAR1; Ar2 = specified (substituted) Ph, naphthyl; R2 = H, alkyl; with provisos], were prepared Thus, N-hydroxy-2(R)-[(3,4-methylenedioxybenzyl)(4-methoxy-2,3,6-trimethylbenzenesulfonyl)amino]-3-methylbutyramide was prepared by solution phase synthesis from BOC-D-Val-OH. Title compds. inhibited procollagen C-proteinase with IC50 0.01-2 µM.  
 AN 2000:441768 CAPLUS  
 DN 133:74324  
 TI Preparation of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase.  
 IN Billedeau, Roland Joseph; Broka, Chris Allen; Campbell, Jeffrey Allen; Chen, Jian Jeffrey; Dankwardt, Sharon Marie; Delaet, Nancy; Robinson, Leslie Ann; Walker, Keith Adrian Murray  
 PA F. Hoffmann-La Roche A.-G., Switz.  
 SO PCT Int. Appl., 133 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037436	A1	20000629	WO 1999-EP9920	19991214 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,				

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

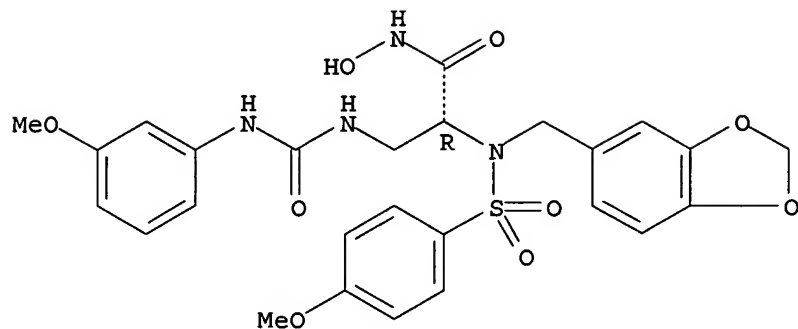
CA 2355902	AA	20000629	CA 1999-2355902	19991214 <--
BR 9916504	A	20010911	BR 1999-16504	19991214 <--
EP 1149072	A1	20011031	EP 1999-963530	19991214 <--
EP 1149072	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101868	T2	20011121	TR 2001-200101868	19991214 <--
JP 2002533322	T2	20021008	JP 2000-589508	19991214 <--
AU 769319	B2	20040122	AU 2000-19792	19991214
NZ 512292	A	20040326	NZ 1999-512292	19991214
AT 270271	E	20040715	AT 1999-963530	19991214
RU 2232751	C2	20040720	RU 2001-119461	19991214
US 6492394	B1	20021210	US 1999-469660	19991222 <--
HR 2001000443	A1	20020630	HR 2001-443	20010614 <--
ZA 2001005014	A	20020919	ZA 2001-5014	20010619 <--
NO 2001003100	A	20010821	NO 2001-3100	20010621 <--
US 2003199520	A1	20031023	US 2002-267292	20021009 <--
US 6844366	B2	20050118		
US 2003216405	A1	20031120	US 2002-267727	20021009 <--
US 6787559	B2	20040907		
PRAI US 1998-113311P	P	19981222		
US 1999-147053P	P	19990803		
US 1999-164138P	P	19991108		
WO 1999-EP9920	W	19991214		
US 1999-469660	A3	19991222		
OS MARPAT 133:74324				
IT 279255-35-5P 279255-45-7P 279255-50-4P				
279255-53-7P 279255-82-2P				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(preparation of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase)				
RN 279255-35-5	CAPLUS			
CN	Propanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-3-[[[(3-cyanophenyl)amino]carbonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.



RN 279255-45-7 CAPLUS  
CN Propanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

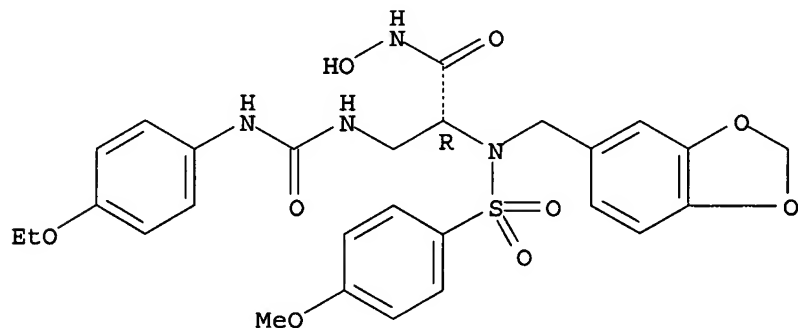
Absolute stereochemistry.



RN 279255-50-4 CAPLUS

CN Propanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-3-[[[(4-ethoxyphenyl)amino]carbonyl]amino]-N-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

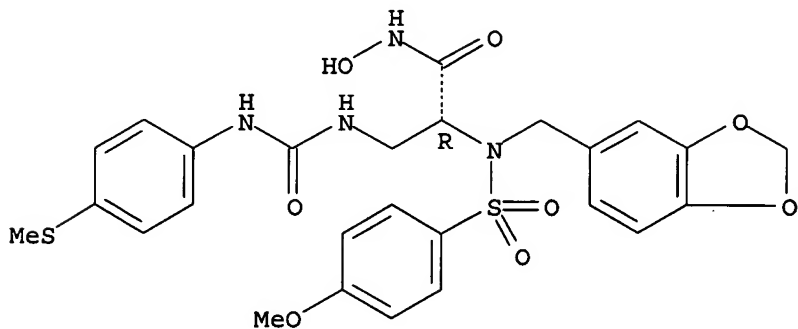
Absolute stereochemistry.



RN 279255-53-7 CAPLUS

CN Propanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-[[[(4-methylthiophenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

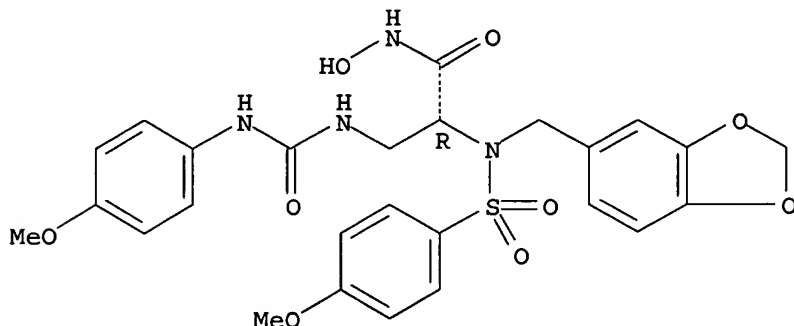


RN 279255-82-2 CAPLUS

10614498

CN Propanamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-[[[(4-methoxyphenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
AB L-alanine hydroxamate derivs. were obtained by reaction of alkyl/arylsulfonyl halides with L-alanine, followed by treatment with benzyl chloride, and conversion of the COOH moiety to the CONHOH group with hydroxylamine in the presence of carbodiimides. Other derivs. were obtained by reaction of N-benzyl-alanine with aryl isocyanates, arylsulfonyl isocyanates or benzoyl isothiocyanate, followed by a similar conversion of the COOH to the CONHOH moiety. The obtained compds. were assayed as inhibitors of Clostridium histolyticum collagenase, ChC (EC 3.4.24.3), a zinc enzyme which degrades triple helical collagen. The hydroxamate derivs. were generally 100-500 times more active than the corresponding carboxylates. In the series of synthesized derivs., substitution patterns leading to the most potent ChC inhibitors were those involving perfluoroalkylsulfonyl- and substituted-arylsulfonyl moieties, such as pentafluorophenylsulfonyl-, 3- and 4-protected-aminophenylsulfonyl-, 3- and 4-carboxyphenylsulfonyl-, 3-trifluoromethyl-phenylsulfonyl-, or 1- and 2-naphthylsulfonyl among others. Similarly to the matrix metalloproteinase (MMP) hydroxamate inhibitors, ChC inhibitors of the type reported here must incorporate hydrophobic moieties at the P2' and P3' sites, in order to achieve tight binding to the enzyme.

AN 2000:368315 CAPLUS

DN 133:177439

TI Protease inhibitors: synthesis of L-alanine hydroxamate sulfonylated derivatives as inhibitors of Clostridium histolyticum collagenase

AU Supuran, Claudiu T.; Briganti, Fabrizio; Mincione, Giovanna; Scozzafava, Andrea

CS Universita degli Studi, Laboratorio di Chimica Inorganica e Bioinorganica, Florence, I-50121, Italy

SO Journal of Enzyme Inhibition (2000), 15(2), 111-128  
CODEN: ENINEG; ISSN: 8755-5093

PB Harwood Academic Publishers

DT Journal

LA English

IT 288266-32-0P 288266-33-1P 288266-34-2P

288266-35-3P 288266-36-4P 288266-37-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological



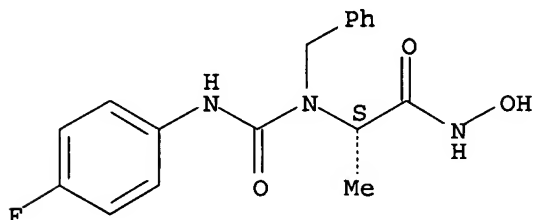
study); PREP (Preparation)

(preparation of L-alanine hydroxamate sulfonlated derivs. as inhibitors of Clostridium histolyticum collagenase)

RN 288266-32-0 CAPLUS

CN Propanamide, 2-[[[(4-fluorophenyl)amino]carbonyl] (phenylmethyl)amino] -N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

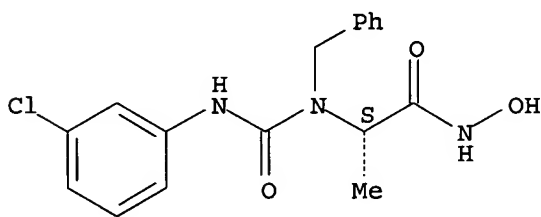
Absolute stereochemistry.



RN 288266-33-1 CAPLUS

CN Propanamide, 2-[[[(3-chlorophenyl)amino]carbonyl] (phenylmethyl)amino] -N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

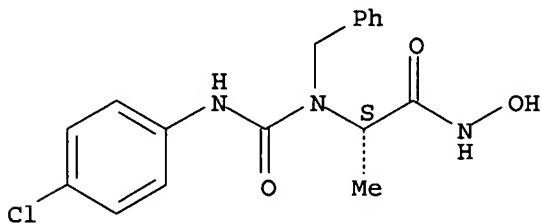
Absolute stereochemistry.



RN 288266-34-2 CAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl] (phenylmethyl)amino] -N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

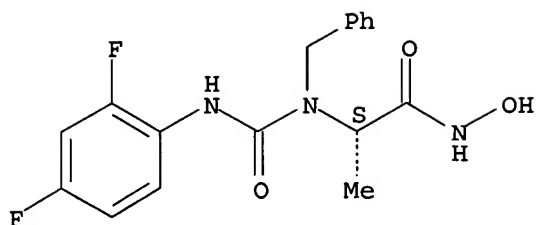
Absolute stereochemistry.



RN 288266-35-3 CAPLUS

CN Propanamide, 2-[[[(2,4-difluorophenyl)amino]carbonyl] (phenylmethyl)amino] -N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

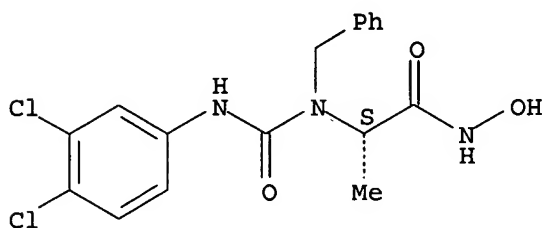
Absolute stereochemistry.



RN 288266-36-4 CAPLUS

CN Propanamide, 2-[[[(3,4-dichlorophenyl)amino]carbonyl] (phenylmethyl)amino]-N-hydroxy-, (2S)-(9CI) (CA INDEX NAME)

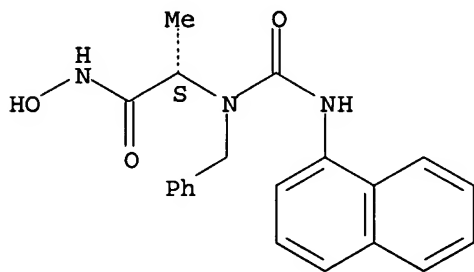
Absolute stereochemistry.



RN 288266-37-5 CAPLUS

CN Propanamide, N-hydroxy-2-[[[(1-naphthalenylamino)carbonyl] (phenylmethyl)amino]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



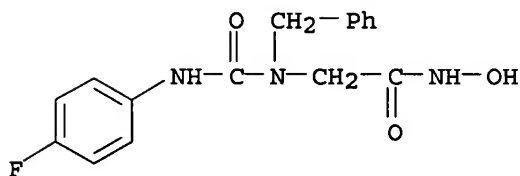
RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

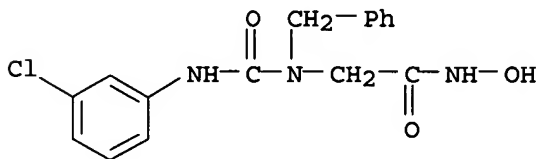
AB Reaction of alkyl/arylsulfonyl halides with glycine afforded a series of derivs. which were first N-benzylated by treatment with benzyl chloride, and then converted to the corresponding hydroxamic acids with hydroxylamine in the presence of carbodiimide derivs. Other derivs. were obtained by reaction of N-benzyl-glycine with aryl isocyanates, arylsulfonyl isocyanates or benzoyl isothiocyanate, followed by conversion of their COOH group into the CONHOH moiety, as mentioned above. The 90 new compds. reported here were assayed as inhibitors of the Clostridium histolyticum collagenase (EC 3.4.24.3), a zinc enzyme which degrades triple helical regions of native collagen. The prepared hydroxamate derivs. were generally 100-500 times more active than the corresponding

carboxylates. In the series of synthesized hydroxamates, substitution patterns leading to the best inhibitors were those involving perfluoroalkylsulfonyl- and substituted-arylsulfonyl moieties, such as pentafluorophenylsulfonyl, 3- and 4-carboxyphenylsulfonyl-, 3-trifluoromethyl-phenylsulfonyl or 1- and 2-naphthyl among others. Thus, it seems that similarly to the matrix metalloproteinase (MMP) hydroxamate inhibitors, *Clostridium histolyticum* collagenase inhibitors should incorporate hydrophobic moieties at the P1' and P2' sites, whereas the  $\alpha$ -carbon substituent may be a small and compact moiety (such as H, for the Gly derivs. reported here). Such compds. might lead to the design of collagenase inhibitor-based drugs useful as anti-cancer, anti-arthritis or anti-bacterial agents for the treatment of corneal keratitis.

AN 2000:261412 CAPLUS  
 DN 133:53160  
 TI Protease inhibitors - part 5. Alkyl/arylsulfonyl- and arylsulfonylureido-/arylureido- glycine hydroxamate inhibitors of *Clostridium histolyticum* collagenase  
 AU Scozzafava, Andrea; Supuran, Claudiu T.  
 CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi, Florence, I-50121, Italy  
 SO European Journal of Medicinal Chemistry (2000), 35(3), 299-307  
 CODEN: EJMCA5; ISSN: 0223-5234  
 PB Editions Scientifiques et Medicales Elsevier  
 DT Journal  
 LA English  
 IT 276696-04-9P 276696-05-0P 276696-06-1P  
 276696-07-2P 276696-08-3P 276696-09-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (alkyl/arylsulfonyl- and arylsulfonylureido-/arylureido- glycine hydroxamate inhibitors of *Clostridium histolyticum* collagenase)  
 RN 276696-04-9 CAPLUS  
 CN Acetamide, 2-[[[(4-fluorophenyl)amino]carbonyl] (phenylmethyl)amino] -N-hydroxy- (9CI) (CA INDEX NAME)

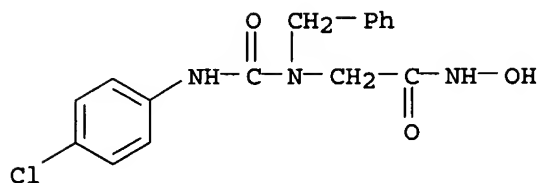


RN 276696-05-0 CAPLUS  
 CN Acetamide, 2-[[[(3-chlorophenyl)amino]carbonyl] (phenylmethyl)amino] -N-hydroxy- (9CI) (CA INDEX NAME)



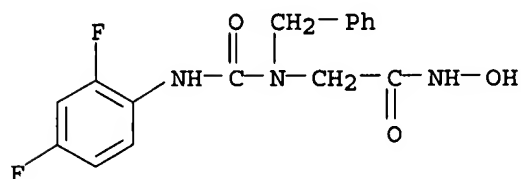
RN 276696-06-1 CAPLUS  
 CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl] (phenylmethyl)amino] -N-

hydroxy- (9CI) (CA INDEX NAME)



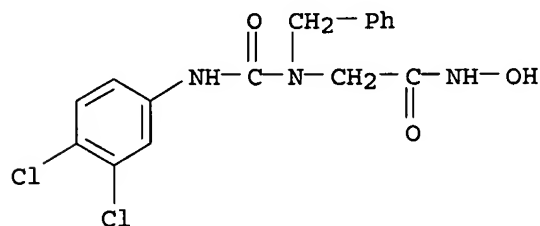
RN 276696-07-2 CAPLUS

CN Acetamide, 2-[[[(2,4-difluorophenyl)amino]carbonyl](phenylmethyl)amino]-N-hydroxy- (9CI) (CA INDEX NAME)



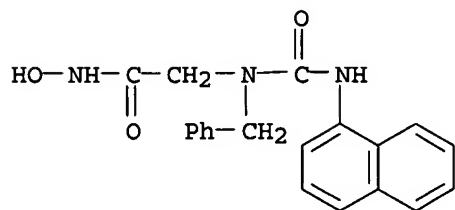
RN 276696-08-3 CAPLUS

CN Acetamide, 2-[[[(3,4-dichlorophenyl)amino]carbonyl](phenylmethyl)amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 276696-09-4 CAPLUS

CN Acetamide, N-hydroxy-2-[[[(1-naphthalenylamino)carbonyl](phenylmethyl)amino]1- (9CI) (CA INDEX NAME)



RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB A series of compds. was prepared by reaction of alkyl/arylsulfonyl halides

with N-4-nitrobenzylglycine, followed by conversion of the COOH to the CONHOH group, with hydroxylamine in the presence of carbodiimides. Other structurally related compds. were obtained by reaction of N-4-nitrobenzylglycine with aryl isocyanates, arylsulfonyl isocyanates, or benzoyl isothiocyanate, followed by the similar conversion of the COOH into the CONHOH moiety. Another subseries of derivs. was prepared from sulfanilyl- or metanilyl-4-nitrobenzylglycine by reaction with arylsulfonyl isocyanates, followed by conversion of the COOH to the hydroxamate moiety. The new compds. were assayed as inhibitors of four matrix metalloproteinases (MMPs), MMP-1, MMP-2, MMP-8, and MMP-9, and of the *Clostridium histolyticum* collagenase (ChC). Some of the prepared hydroxamate derivs. proved to be very effective collagenase/gelatinase inhibitors, depending on the substitution pattern at the sulfonamido moiety. Substitutions leading to best inhibitors of MMP-1, a short pocket enzyme, were those involving pentafluorophenylsulfonyl or 3-trifluoromethylphenylsulfonyl moieties at P1' (KI's of 3-5 nM). For MMP-2, MMP-8, and MMP-9 (deep-pocket enzymes), best inhibitors were especially those containing long perfluoroalkylsulfonyl and substituted-arylsulfonyl moieties, such as pentafluorophenylsulfonyl, 3- and 4-protected-aminophenylsulfonyl, 3- and 4-carboxyphenylsulfonyl, arylsulfonylureido, or arylsulfonylureidosulfanilyl/metanilyl moieties, at P1'. Bulkier groups in this position, such as 1- and 2-naphthyl, substituted-naphthyl, or quinolin-8-yl moieties among others, led to less effective MMP/ChC inhibitors. Best ChC inhibitors were again those containing pentafluorophenylsulfonyl or 3- and 4-protected-aminophenylsulfonyl P1' anchoring groups, suggesting that this protease is also a short-pocket wider-neck one (more similar to MMP-1). This study also proves that the 4-nitrobenzyl moiety is an efficient P2' anchoring moiety and that sulfonylureido, ureido, or carboxythioureido substitutions at P1' are also tolerated for obtaining potent sulfonylated amino acid hydroxamate-like MMP/ChC inhibitors.

AN 2000:222313 CAPLUS

DN 133:26475

TI Protease Inhibitors: Synthesis of Potent Bacterial Collagenase and Matrix Metalloproteinase Inhibitors Incorporating N-4-Nitrobenzylsulfonylglycine Hydroxamate Moieties

AU Scozzafava, Andrea; Supuran, Claudiu T.

CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi, Florence, I-50121, Italy

SO Journal of Medicinal Chemistry (2000), 43(9), 1858-1865

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT 273732-44-8 273732-45-9 273732-46-0

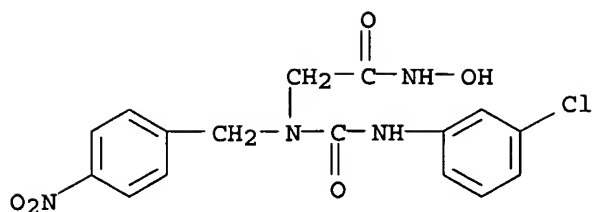
273732-47-1 273732-48-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(synthesis of potent bacterial collagenase and matrix metalloproteinase inhibitors incorporating nitrobenzylsulfonylglycine hydroxamate moieties)

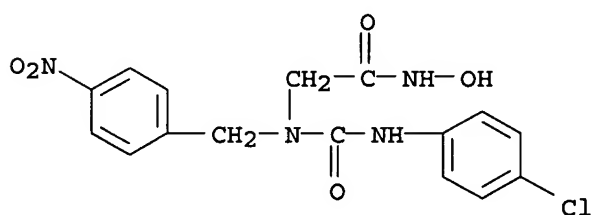
RN 273732-44-8 CAPLUS

CN Acetamide, 2-[[[(3-chlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



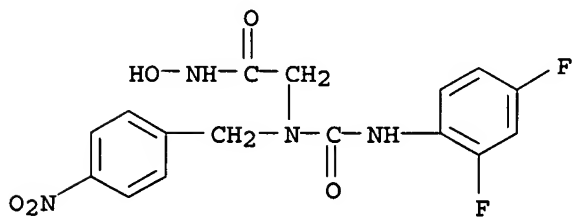
RN 273732-45-9 CAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



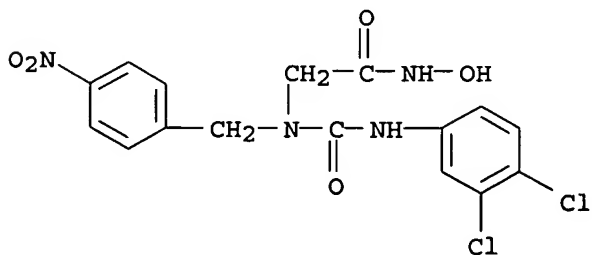
RN 273732-46-0 CAPLUS

CN Acetamide, 2-[[[(2,4-difluorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



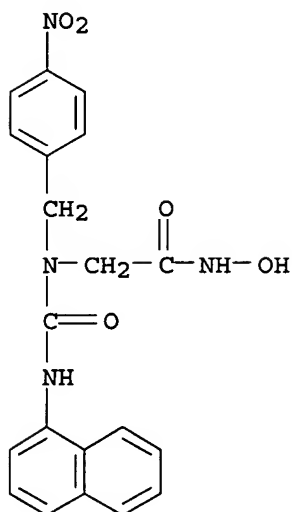
RN 273732-47-1 CAPLUS

CN Acetamide, 2-[[[(3,4-dichlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 273732-48-2 CAPLUS

CN Acetamide, N-hydroxy-2-[[[(1-naphthalenylamino)carbonyl][(4-nitrophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

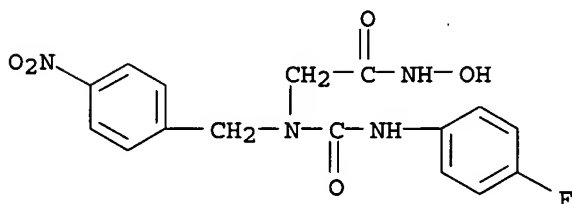


IT 273732-43-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (synthesis of potent bacterial collagenase and matrix metalloproteinase inhibitors incorporating nitrobenzylsulfonylglycine hydroxamate moieties)

RN 273732-43-7 CAPLUS

CN Acetamide, 2-[[[(4-fluorophenyl)amino]carbonyl]][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB A series of hydroxamates was obtained by the reaction of N-(4-nitrobenzyl)-L-alanine with alkyl/arylsulfonyl halides, followed by conversion of the CO<sub>2</sub>H group into CONHOH (no data). Structurally related compds. were prepared similarly by using arylsulfonyl isocyanates, aryl isocyanates or arylsulfonyl halides instead of the sulfonyl halides (no data). Many of the new compds. showed nanomolar affinity for the bacterial collagenase isolated from the pathogen *Clostridium histolyticum*.

AN 2000:208763 CAPLUS

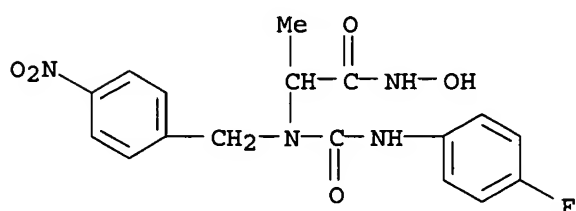
DN 132:305057

TI Protease inhibitors: synthesis of *Clostridium histolyticum* collagenase inhibitors incorporating sulfonyl-L-alanine hydroxamate moieties

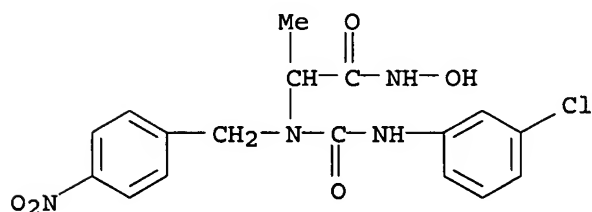
AU Scozzafava, Andrea; Supuran, Claudiu T.

CS Università degli Studi, Laboratorio di Chimica Inorganica e Bioinorganica,

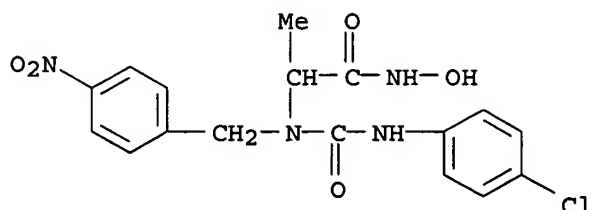
Florence, 50121, Italy  
 SO Bioorganic & Medicinal Chemistry Letters (2000), 10(5), 499-502  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 IT 265668-44-8 265668-45-9 265668-46-0  
 265668-47-1 265668-48-2 265668-49-3  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (Clostridium collagenase inhibitors incorporating sulfonylalanine hydroxamate)  
 RN 265668-44-8 CAPLUS  
 CN Propanamide, 2-[[[(4-fluorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 265668-45-9 CAPLUS  
 CN Propanamide, 2-[[[(3-chlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)

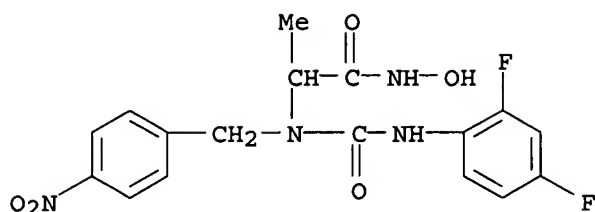


RN 265668-46-0 CAPLUS  
 CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



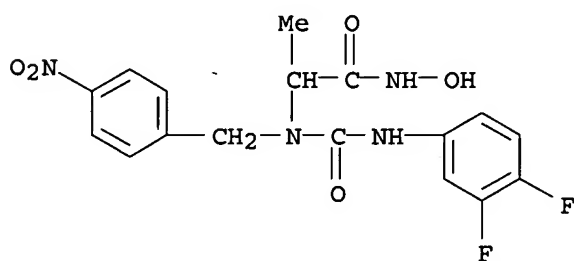
RN 265668-47-1 CAPLUS  
 CN Propanamide, 2-[[[(2,4-difluorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)





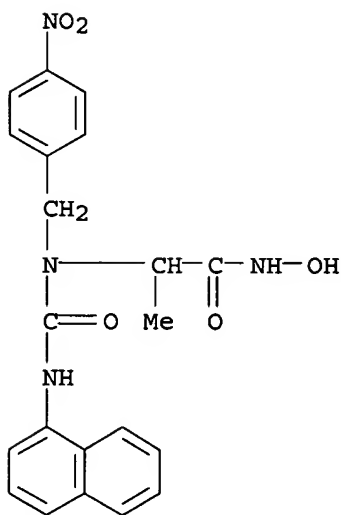
RN 265668-48-2 CAPLUS

CN Propanamide, 2-[[[(3,4-difluorophenyl)amino]carbonyl][(4-nitrophenyl)methyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 265668-49-3 CAPLUS

CN Propanamide, N-hydroxy-2-[[[(1-naphthalenylamino)carbonyl][(4-nitrophenyl)methyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB A series of hydroxamates was prepared by reaction of alkyl/arylsulfonyl halides with N-2-chlorobenzyl-L-alanine, followed by conversion of the CO<sub>2</sub>H moiety to the CONHOH group, with NH<sub>2</sub>OH in the presence of carbodiimides. Other structurally related compds. were obtained by

reaction of N-2-chlorobenzyl-L-alanine with aryl isocyanates, arylsulfonyl isocyanates, or benzoyl isothiocyanate, followed by the similar conversion of the CO<sub>2</sub>H into the CONHOH moiety. The new compds. were assayed as inhibitors of the *Clostridium histolyticum* collagenase, ChC (EC 3.4.24.3), a bacterial Zn metallo-peptidase which degrades triple helical collagen as well as a large number of synthetic peptides. The prepared hydroxamates proved to be 100-500+ more active collagenase inhibitors than the corresponding carboxylates. Substitution patterns leading to best ChC inhibitors (both for carboxylates as well as for the hydroxamates) were those involving perfluoroalkylsulfonyl- and substituted arylsulfonyl moieties, such as C<sub>6</sub>F<sub>5</sub>SO<sub>2</sub>, protected 3- and 4-aminophenylsulfonyl-, 3-/4-HO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, 3-F<sub>3</sub>CC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, as well as 1- and 2-naphthyl-, quinolin-8-yl- or substituted-arylsulfonylamido-carboxyl moieties among others. Similarly to the matrix metalloproteinase (MMP) hydroxamate inhibitors, ChC inhibitors of the type reported here must incorporate hydrophobic moieties at the P2' and P3' sites, to achieve tight binding to the enzyme. This study also proves that the 2-chlorobenzyl moiety, is an efficient P2' anchoring moiety for obtaining potent ChC inhibitors.

AN 2000:157028 CAPLUS

DN 132:344757

TI Protease inhibitors. Part 8. Synthesis of potent *Clostridium histolyticum* collagenase inhibitors incorporating sulfonylated L-alanine hydroxamate moieties

AU Scozzafava, A.; Supuran, C. T.

CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi, Florence, I-50121, Italy

SO Bioorganic & Medicinal Chemistry (2000), 8(3), 637-645

CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Science Ltd.

DT Journal

LA English

IT 269747-10-6P 269747-11-7P 269747-12-8P

269747-13-9P 269747-14-0P 269747-15-1P

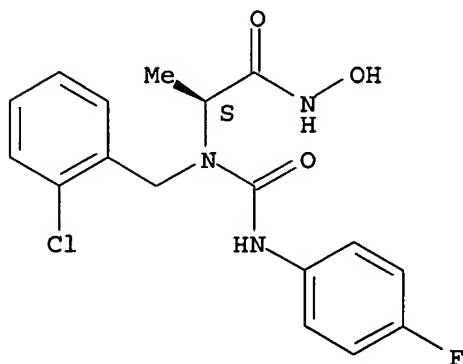
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of *Clostridium* collagenase inhibitors incorporating sulfonylated alanine hydroxamate)

RN 269747-10-6 CAPLUS

CN Propanamide, 2-[[[(2-chlorophenyl)methyl][[(4-fluorophenyl)amino]carbonyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

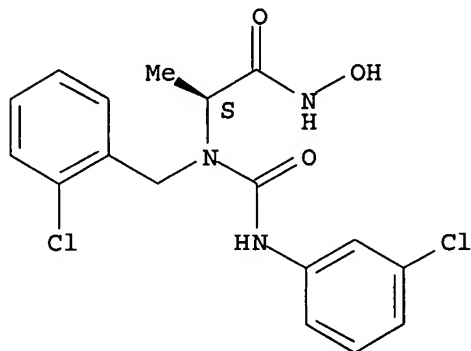


RN 269747-11-7 CAPLUS

10614498

CN Propanamide, 2-[[[(3-chlorophenyl)amino]carbonyl][(2-chlorophenyl)methyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

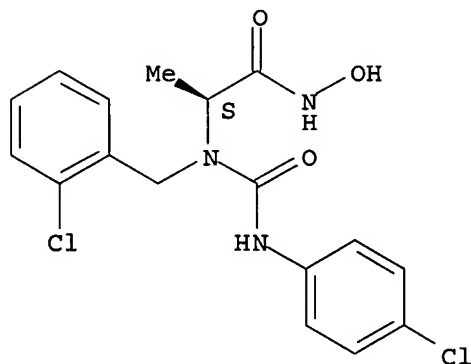
Absolute stereochemistry.



RN 269747-12-8 CAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl][(2-chlorophenyl)methyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

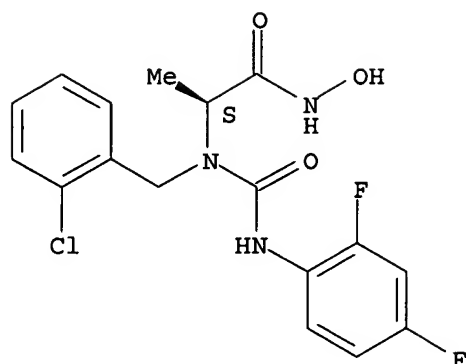
Absolute stereochemistry.



RN 269747-13-9 CAPLUS

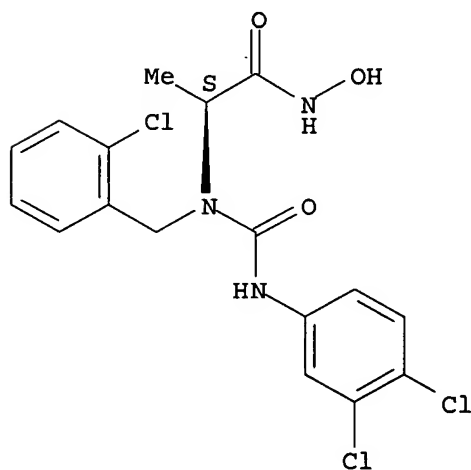
CN Propanamide, 2-[[[(2-chlorophenyl)methyl][(2,4-difluorophenyl)amino]carbonyl]amino]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



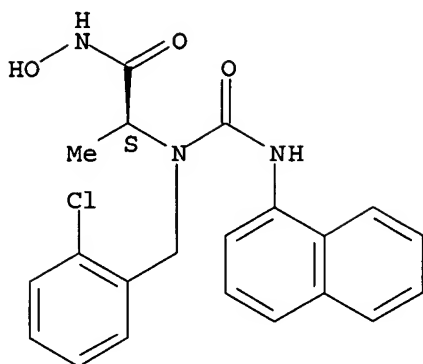
RN 269747-14-0 CAPLUS  
 CN Propanamide, 2-[[[(2-chlorophenyl)methyl]amino]propanamido]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 269747-15-1 CAPLUS  
 CN Propanamide, 2-[[[(2-chlorophenyl)methyl]amino]propanamido]-N-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



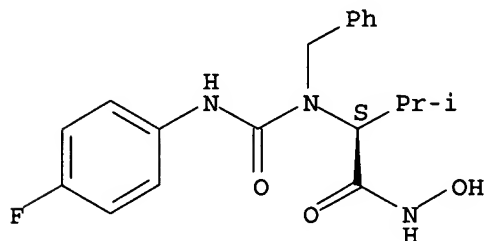
RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB Sulfonated 1-valine hydroxamate derivs. were obtained by reaction of alkyl/arylsulfonyl halides with the title amino acid, followed by treatment with benzyl chloride, and conversion of the COOH moiety to the CONHOH group. Other derivs. were obtained by reaction of N-benzyl-1-valine with arylisocyanates, arylsulfonylisocyanates or benzoylisothiocyanate, followed by the similar conversion of the COOH into the CONHOH moiety, with hydroxylamine in the presence of carbodiimides. The obtained compds. were assayed as inhibitors of the Clostridium histolyticum collagenase, ChC (EC 3.4.24.3), a zinc enzyme which degrades triple helical collagen. The hydroxamate derivs. were generally 100-500 times more active than the corresponding carboxylates. In the series of synthesized derivs., substitution patterns leading to best ChC inhibitors were those involving perfluoroalkylsulfonyl- and substituted-arylsulfonyl moieties, such as pentafluorophenylsulfonyl; 3- and 4-protected-aminophenylsulfonyl; 3- and 4-carboxyphenylsulfonyl; 3-trifluoromethylphenylsulfonyl; or 1- and 2-naphthyl among others. Similarly to the matrix metalloproteinase hydroxamate inhibitors, ChC inhibitors of the type reported here must incorporate hydrophobic moieties at the P2' and P3' subsites, in order to achieve tight binding to the enzyme. Such compds. might lead to drugs useful in the treatment of corneal bacterial keratitis.  
 AN 2000:142412 CAPLUS  
 DN 132:342787  
 TI Protease inhibitors. Part 7 Inhibition of Clostridium histolyticum collagenase with sulfonated derivatives of 1-valine hydroxamate  
 AU Supuran, C. T.; Scozzafava, A.  
 CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi, Florence, I-50121, Italy  
 SO European Journal of Pharmaceutical Sciences (2000), 10(1), 67-76  
 CODEN: EPSCED; ISSN: 0928-0987  
 PB Elsevier Science Ireland Ltd.  
 DT Journal  
 LA English  
 IT 270072-84-9P 270072-85-0P 270072-86-1P  
 270072-87-2P 270072-88-3P 270072-89-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of sulfonated valine hydroxamates as inhibitors of Clostridium histolyticum collagenase)

RN 270072-84-9 CAPLUS

CN Butanamide, 2-[[[(4-fluorophenyl)amino]carbonyl] (phenylmethyl)amino]-N-hydroxy-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

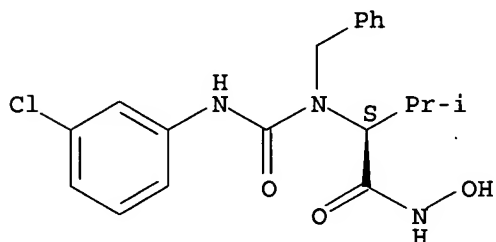
Absolute stereochemistry.



RN 270072-85-0 CAPLUS

CN Butanamide, 2-[[[(3-chlorophenyl)amino]carbonyl] (phenylmethyl)amino]-N-hydroxy-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

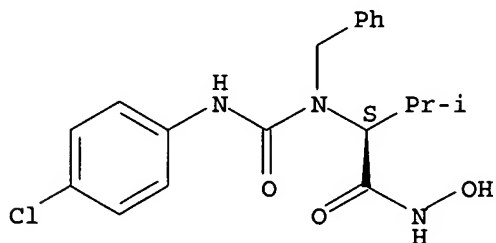
Absolute stereochemistry.



RN 270072-86-1 CAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl] (phenylmethyl)amino]-N-hydroxy-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

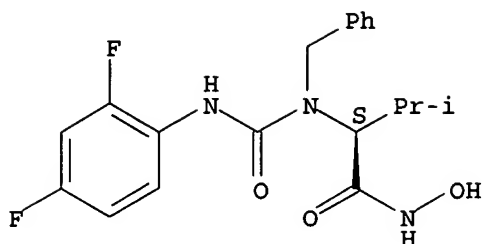
Absolute stereochemistry.



RN 270072-87-2 CAPLUS

CN Butanamide, 2-[[[(2,4-difluorophenyl)amino]carbonyl] (phenylmethyl)amino]-N-hydroxy-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

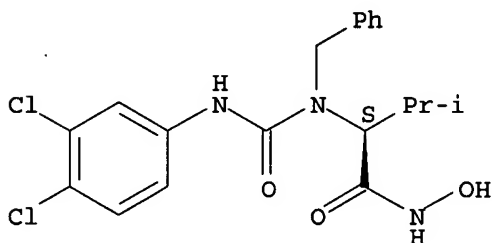
Absolute stereochemistry.



RN 270072-88-3 CAPLUS

CN Butanamide, 2-[[[(3,4-dichlorophenyl)amino]carbonyl](phenylmethyl)amino]-N-hydroxy-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

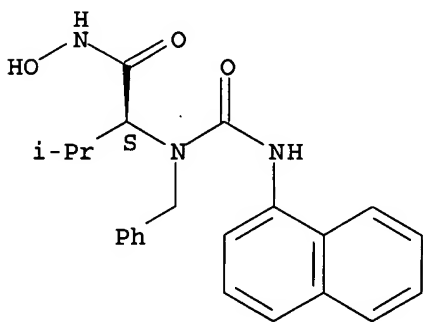
Absolute stereochemistry.



RN 270072-89-4 CAPLUS

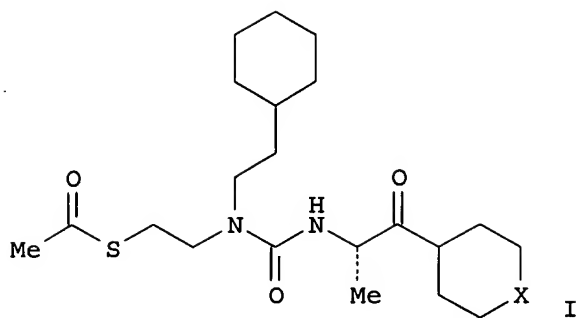
CN Butanamide, N-hydroxy-3-methyl-2-[[[(1-naphthalenylamino)carbonyl](phenylmethyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
GI



AB Prepared are  $\alpha$ -[N'-(mercaptoalkyl)ureido]alkanamide compds. having a urea structure as the basic structure and carrying sulfur and amide bonds in side chains. The above compds. are represented by general formula R1S-A1(R7)-NR2CONR3-A2(R4)CONR5R6 [wherein R1 represents H, (un)substituted lower alkyl or aromatic group, RA-CO-, RC-S- or a group of formula S-A1(R7)-NR2CONR3-A2(R4)CONR5R6; R2, R3 and R4 represent each H, (un)substituted lower alkyl or alkenyl, cycloalkyl, cycloalkenyl or (un)substituted aromatic group; R5 and R6 represent each H, (un)substituted lower alkyl or alkenyl, cycloalkyl, cycloalkenyl or (un)substituted aromatic group, or R5 and R6 may form together (un)substituted nonarom. heterocycle; R7 represents H, (un)substituted lower alkyl, cycloalkyl, hydroxy, mercapto, Ph, RB-O-, RC-S-, RD-COS-, RE-OCO-, RF-N(RG)- or -CONHOH; A1 and A2 represent each an alkylene; RA represents lower (halo)alkyl, aromatic group, lower alkoxy, aromatic-lower alkoxy, RF, or NRG;

RB

represents lower alkyl or aromatic group; RC represents H, lower alkyl, aromatic

group; RD represents lower alkyl or aromatic group; RE represents H, lower alkyl, or aromatic group, RF and RG represent H, lower alkyl, cycloalkyl, or aromatic group]. It has been found out that these compds. have pharmacol. effects, in particular, a tumor necrosis factor- $\alpha$  (TNF- $\alpha$ ) production inhibitory effect. They are useful as remedies for autoimmune diseases and as antirheumatics. Thus, (2S)-2-[3-[2-(acetylthio)ethyl]-3-(2-cyclohexylethyl)ureido]propionic acid (preparation given) was condensed with N-methylpiperazine using 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and N-methylmorpholine in CH<sub>2</sub>Cl<sub>2</sub> at room temperature overnight to give the title compound (I; X = NMe) in 78% yield. I (X = NMe) and I (X = O) at 50 mg/kg p.o. inhibited the Salmonella lipopolysaccharide-induced production of TNF- $\alpha$  in rats by 84.6 and 93.5%, resp.

AN 1999:640828 CAPLUS

DN 131:272178

TI Preparation of N-(mercaptoalkyl)urea derivatives of amino acids as inhibitors of TNF- $\alpha$  production

IN Mita, Shiro; Horiuchi, Masato; Ban, Masakazu; Suhara, Hiroshi

PA Santen Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 324 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9950238	A1	19991007	WO 1999-JP1554	19990325 <--
	W: CA, CN, KR, NO, US				



RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 2000044533	A2	20000215	JP 1999-78346	19990323 <--
JP 3603177	B2	20041222		
CA 2325741	AA	19991007	CA 1999-2325741	19990325 <--
EP 1072591	A1	20010131	EP 1999-910724	19990325 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

US 6492370	B1	20021210	US 2000-623779	20000908 <--
US 2002198376	A1	20021226	US 2002-147131	20020515 <--
US 6730784	B2	20040504		

PRAI JP 1998-79154 A 19980326  
 WO 1999-JP1554 W 19990325  
 US 2000-623779 A3 20000908

OS MARPAT 131:272178

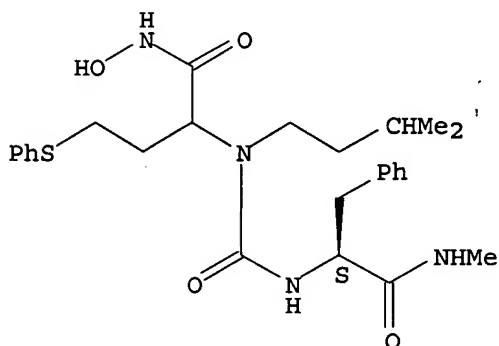
IT 245486-61-7P 245486-66-2P 245486-69-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-(mercaptoalkyl)urea derivs. of amino acids as inhibitors of TNF- $\alpha$  production, antirheumatics, and remedies for autoimmune disease)

RN 245486-61-7 CAPLUS

CN Benzenepropanamide,  $\alpha$ -[[[1-[(hydroxyamino)carbonyl]-3-(phenylthio)propyl](3-methylbutyl)amino]carbonyl]amino]-N-methyl-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

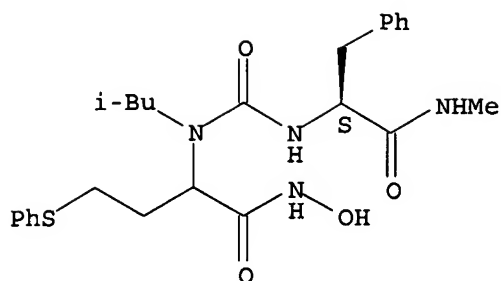
Absolute stereochemistry.



RN 245486-66-2 CAPLUS

CN Benzenepropanamide,  $\alpha$ -[[[1-[(hydroxyamino)carbonyl]-3-(phenylthio)propyl](2-methylpropyl)amino]carbonyl]amino]-N-methyl-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

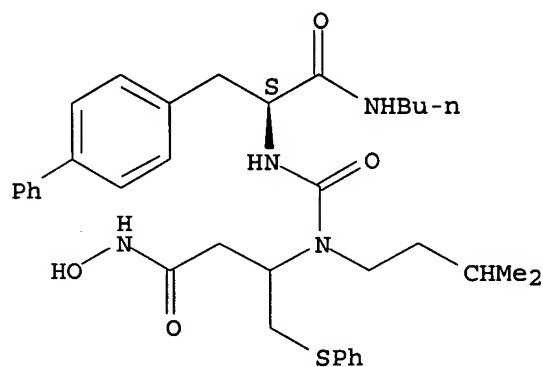
Absolute stereochemistry.



RN 245486-69-5 CAPLUS

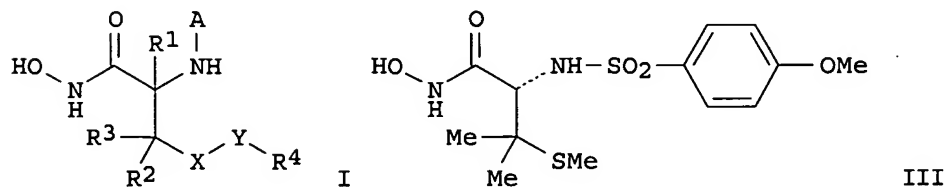
CN [1,1'-Biphenyl]-4-propanamide, N-butyl- $\alpha$ -[[[3-(hydroxyamino)-3-oxo-1-[(phenylthio)methyl]propyl](3-methylbutyl)amino]carbonyl]amino]-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
GI



AB The invention provides title compds. I [A = SO<sub>2</sub>Ar, COAr, CONHAr, P(O)(R)Ar; Ar = (un)substituted mono- or bicyclic aryl or heteroaryl; R<sub>1</sub> = H, alkyl; R<sub>2</sub>-R<sub>4</sub> = independently H, (un)substituted alkyl, aryl, heteroaryl, arylalkyl, alkoxyalkyl, heterocyclyl, heterocyclylalkyl; R<sub>1</sub>R<sub>2</sub>, R<sub>2</sub>R<sub>3</sub>, R<sub>3</sub>R<sub>4</sub> may form rings; X = bond, C1-6 alkyl, CO, O, N, NZ, S, S(O), SO<sub>2</sub>; Y = bond, C1-6 alkyl, CO, CO<sub>2</sub>, CONH, O, N, NZ, S, S(O), SO<sub>2</sub>; Z = H,

COR4, CO2R4, CONHR4, R4, C(S)R4, CSNHR4, SO2R4] or an optical isomer, diastereomer or enantiomer thereof, or a pharmaceutically-acceptable salt, or biohydrolyzable amide, ester, or imide thereof are useful as inhibitors of metalloproteases. Also disclosed are pharmaceutical compns. and methods of treating diseases, disorders and conditions characterized by metalloprotease activity using these compds. or the pharmaceutical compns. containing them. Thus, S-methylation of D-penicillamine (D-Pen) with Me2SO4 and Ba(OH)2, followed by N-sulfonylation with 4-MeOC6H4SO2Cl gave 73% adduct 4-MeOC6H4SO2-D-Pen(Me)-OH (II). Acid chlorination of II with oxalyl chloride, followed by amidation with hydroxylamine gave desired N-hydroxyamide III in 65% yield.

AN 1999:113626 CAPLUS

DN 130:168652

TI Preparation of substituted amino acid N-hydroxyamides as metalloprotease inhibitors

IN Almstead, Neil Gregory; Bookland, Roger Gunnard; Taiwo, Yetunde Olabisi; Bradley, Rimma Sandler; Bush, Rodney Dean; De, Biswanath; Natchus, Michael George; Pikul, Stanislaw

PA The Procter & Gamble Company, USA

SO PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9906340	A2	19990211	WO 1998-IB1139	19980727 <--
	WO 9906340	A3	19990930		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2298617	AA	19990211	CA 1998-2298617	19980727 <--
	AU 9882376	A1	19990222	AU 1998-82376	19980727 <--
	AU 746877	B2	20020502		
	EP 1009737	A2	20000621	EP 1998-932460	19980727 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	BR 9810841	A	20010710	BR 1998-10841	19980727 <--
	JP 2001513484	T2	20010904	JP 2000-505105	19980727 <--
	NZ 503945	A	20021126	NZ 1998-503945	19980727 <--
	US 6218389	B1	20010417	US 1998-127678	19980731 <--
	NO 2000000464	A	20000330	NO 2000-464	20000128 <--
PRAI	US 1997-54348P	P	19970731		
	WO 1998-IB1139	W	19980727		

OS MARPAT 130:168652

IT 220389-90-2P 220389-97-9P 220390-07-8P

220390-21-6P 220390-34-1P 220390-41-0P

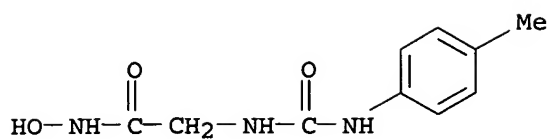
220390-51-2P 220390-58-9P 220390-65-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amino acid N-hydroxyamides as metalloprotease inhibitors)

RN 220389-90-2 CAPLUS

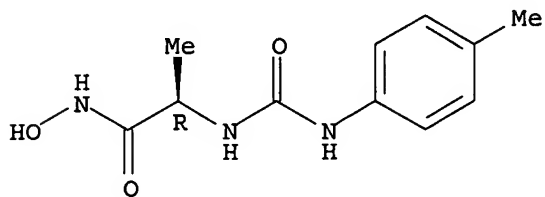
CN Acetamide, N-hydroxy-2-[[[(4-methylphenyl)amino]carbonyl]amino] - (9CI)  
(CA INDEX NAME)



RN 220389-97-9 CAPLUS

CN Propanamide, N-hydroxy-2-[[[(4-methylphenyl)amino]carbonyl]amino]-, (2R)-(9CI) (CA INDEX NAME)

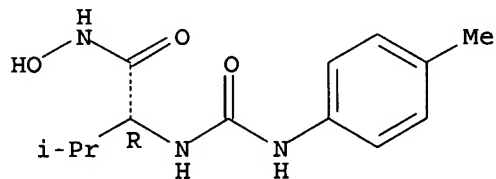
Absolute stereochemistry.



RN 220390-07-8 CAPLUS

CN Butanamide, N-hydroxy-3-methyl-2-[[[(4-methylphenyl)amino]carbonyl]amino]-, (2R)-(9CI) (CA INDEX NAME)

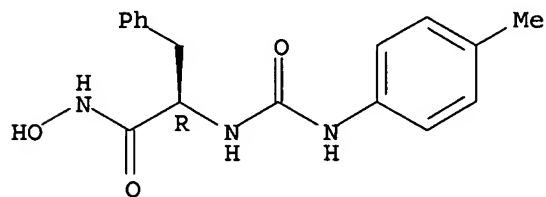
Absolute stereochemistry.



RN 220390-21-6 CAPLUS

CN Benzenepropanamide, N-hydroxy-α-[[[(4-methylphenyl)amino]carbonyl]amino]-, (αR)-(9CI) (CA INDEX NAME)

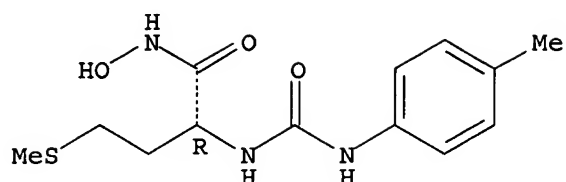
Absolute stereochemistry.



RN 220390-34-1 CAPLUS

CN Butanamide, N-hydroxy-2-[[[(4-methylphenyl)amino]carbonyl]amino]-4-(methylthio)-, (2R)-(9CI) (CA INDEX NAME)

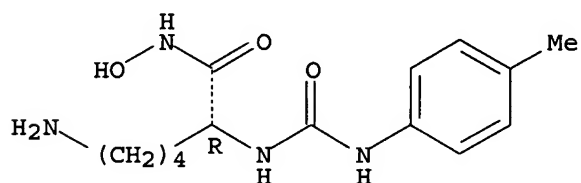
Absolute stereochemistry.



RN 220390-41-0 CAPLUS

CN Hexanamide, 6-amino-N-hydroxy-2-[[[(4-methylphenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

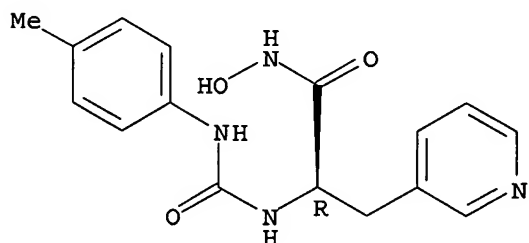
Absolute stereochemistry.



RN 220390-51-2 CAPLUS

CN 3-Pyridinepropanamide, N-hydroxy-α-[[[(4-methylphenyl)amino]carbonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

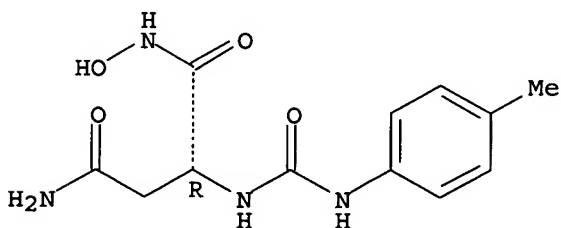
Absolute stereochemistry.



RN 220390-58-9 CAPLUS

CN Butanediamide, N1-hydroxy-2-[[[(4-methylphenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

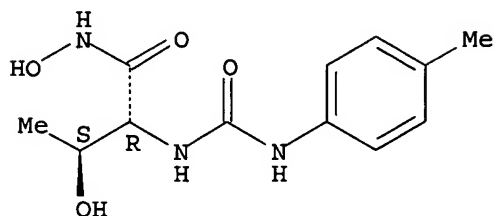
Absolute stereochemistry.



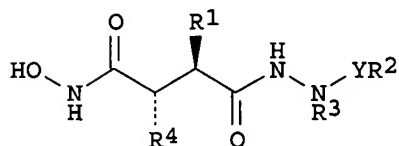
RN 220390-65-8 CAPLUS

CN Butanamide, N,3-dihydroxy-2-[[[(4-methylphenyl)amino]carbonyl]amino]-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5. ANSWER 25 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
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I

AB Title compds. [I; Y = CO, SO<sub>2</sub>; R<sub>1</sub> = alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl; R<sub>2</sub> = alkyl, haloalkyl, aralkyl, aralkenyl, aryl, alkoxy, alkoxy carbonyl, etc.; R<sub>3</sub> = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aralkyl, aralkenyl, aryl, heterocyclyl; R<sub>2</sub>R<sub>3</sub> = 5-7 membered cyclic amide, imide, sulfonamide, or urethane; R<sub>4</sub> = alkyl, alkenyl, cycloalkylalkyl, ArX, HetX, etc.; Ar = aryl; Het = heteroaryl; X = spacer], were prepared Thus, (E)-2(R)-[1(S)-(hydroxycarbamoyl)-4-phenyl-3-butenyl]-2'-(methanesulfonyl)-4-methyl-2'-phenylvalerohydrazide (multistep preparation given) inhibited TNF $\alpha$  and TGF $\alpha$  release with IC<sub>50</sub> = 437 nM and 210 nM, resp.

AN 1999:42740 CAPLUS

DN 130:110060

TI Preparation of hydroxycarbamoylalkylcarboxylic acid hydrazides as inhibitors of tumor necrosis factor and transforming growth factor release.

IN Broadhurst, Michael John; Johnson, William Henry; Walter, Daryl Simon

PA F. Hoffmann-La Roche A.-G., Switz.

SO Ger. Offen., 64 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

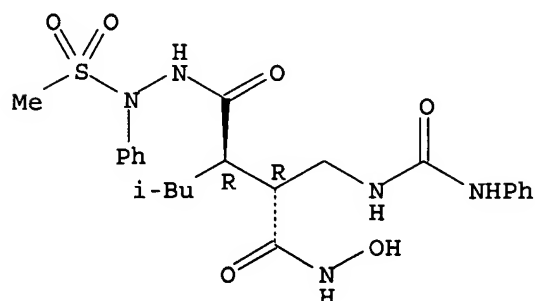
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19829229	A1	19990107	DE 1998-19829229	19980630 <--
US 6235787	B1	20010522	US 1998-98235	19980616 <--
CA 2295062	AA	19990114	CA 1998-2295062	19980618 <--
WO 9901428	A1	19990114	WO 1998-EP3683	19980618 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				

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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9886273	A1	19990125	AU 1998-86273	19980618 <--
AU 725039	B2	20001005		
EP 993442	A1	20000419	EP 1998-937498	19980618 <--
EP 993442	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 9903281	T2	20000921	TR 1999-9903281	19980618 <--
BR 9810952	A	20000926	BR 1998-10952	19980618 <--
JP 2000513750	T2	20001017	JP 1999-506230	19980618 <--
AT 238277	E	20030515	AT 1998-937498	19980618 <--
PT 993442	T	20030930	PT 1998-937498	19980618 <--
ES 2195365	T3	20031201	ES 1998-937498	19980618 <--
ZA 9805469	A	19981230	ZA 1998-5469	19980623 <--
IT 1301792	B1	20000707	IT 1998-MI1441	19980624 <--
FR 2765219	A1	19981231	FR 1998-8124	19980626 <--
FR 2765219	B1	19991029		
GB 2326881	A1	19990106	GB 1998-14027	19980629 <--
ES 2140348	A1	20000216	ES 1998-1359	19980629 <--
ES 2140348	B1	20001016		
MX 9911668	A	20000531	MX 1999-11668	19991214 <--
BG 104050	A	20001229	BG 1999-104050	19991228 <--
NO 9906534	A	20000223	NO 1999-6534	19991229 <--
PRAI GB 1997-13833	A	19970630		
GB 1998-3335	A	19980217		
WO 1998-EP3683	W	19980618		
OS	MARPAT 130:110060			
IT	219612-93-8P			
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
(preparation of hydroxycarbamoylalkylcarboxylic acid hydrazides as inhibitors of tumor necrosis factor and transforming growth factor release)				
RN	219612-93-8 CAPLUS			
CN	Pentanoic acid, 2-[(1R)-2-(hydroxyamino)-2-oxo-1-[[[(phenylamino)carbonyl]amino]methyl]ethyl]-4-methyl-, 2-(methylsulfonyl)-2-phenylhydrazide, (2R)- (9CI) (CA INDEX NAME)			

Absolute stereochemistry.



L5 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
AB The title materials contain organic Ag salts, reducing agents, ≥1 compds. selected from R2NA1NA2G1m1R1 [R2 = aliphatic, aromatic, or heterocyclic group; R1 = H or blocking group; G1 = CO, COCO, CS, SO2, SO, POR3 (R3 = H

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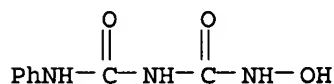
or blocking group), iminomethylene; A1 = A2 = H or 1 of A1 and A2 is H and the other is alkylsulfonyl, arylsulfonyl or (substituted) acyl group; m1 = 0 or 1, when m1 = 0, R1 = aliphatic, aromatic or heterocyclic group], and ≥1 compds. selected from R23CONR24OX2 and R33G3n3NR34OX3 [R23 = hydrazino, alkylamino, sulfonylamino, ureido, oxycarbonylamino, alkynyl (these group may be substituted), unsubstituted amino; R24, R34 = H, alkyl, aryl, heterocycle; X2, X3 = H, alkyl, acyl, (oxy)carbonyl; R33 = aliphatic aromatic or heterocyclic group, group which links via N or O atom; G3 = COCO, CS, SO2, SO, POR35 (R35 is the same as defined above for R33), iminomethylene; n3 = 0 or 1, when n3 = 0, R33 = heterocyclic group; R23-R24 or R33-R34 may form 5- or 7-membered ring]. The materials show high sensitivity (Dmax) associated with reduction of black spot formation.

AN 1998:351900 CAPLUS  
 DN 129:101969  
 TI Thermographic materials for printing platemaking  
 IN Hirano, Shigeo; Kubo, Toshiaki  
 PA Fuji Photo Film Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 66 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10142729	A2	19980529	JP 1996-308796	19961105 <--
PRAI	JP 1996-308796		19961105		
IT	209545-39-1				

RL: MOA (Modifier or additive use); USES (Uses)  
 (thermog. material using organic silver salt and hydrazine derivative for printing platemaking)

RN 209545-39-1 CAPLUS  
 CN Imidodicarbonic diamide, N-hydroxy-N'-phenyl- (9CI) (CA INDEX NAME)

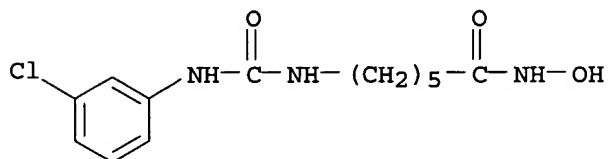


L5 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB Hybrid polar compds. (HPCs) have been synthesized that induce terminal differentiation and/or apoptosis in various transformed cells. We have previously reported on the development of the second-generation HPCs suberoylanilide hydroxamic acid (SAHA) and m-carboxycinnamic acid bishydroxamide (CBHA) that are 2,000-fold more potent inducers on a molar basis than the prototype HPC hexamethylene bisacetamide (HMBA). Herein we report that CBHA and SAHA inhibit histone deacetylase 1 (HDAC1) and histone deacetylase 3 (HDAC3) activity in vitro. Treatment of cells in culture with SAHA results in a marked hyperacetylation of histone H4, but culture with HMBA does not. Murine erythroleukemia cells developed for resistance to SAHA are cross-resistant to trichostatin A, a known deacetylase inhibitor and differentiation inducer, but are not cross-resistant to HMBA. These studies show that the second-generation HPCs, unlike HMBA, are potent inhibitors of HDAC activity. In this sense, HMBA and the second-generation HPCs appear to induce differentiation by different pathways.

AN 1998:209144 CAPLUS  
 DN 128:316984  
 TI A class of hybrid polar inducers of transformed cell differentiation



inhibits histone deacetylases  
AU Richon, Vicotria M.; Emiliani, Stephane; Verdin, Eric; Webb, Yael;  
Breslow, Ronald; Rifkind, Richard A.; Marks, Paul A.  
CS Cell Biology Program, Memorial Sloan-Kettering Cancer Center, New York,  
NY, 10021, USA  
SO Proceedings of the National Academy of Sciences of the United States of  
America (1998), 95(6), 3003-3007  
CODEN: PNASA6; ISSN: 0027-8424  
PB National Academy of Sciences  
DT Journal  
LA English  
IT 174664-68-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); BIOL (Biological study)  
(hybrid polar inducers of transformed cell differentiation inhibits  
histone deacetylases)  
RN 174664-68-7 CAPLUS  
CN Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
(CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I (R1 = H, hydroxy-protective group; R2 = H, acyl, R3 = H, alkyl; R2R3N = phthalimido; R4 = heterocyclic (lower) alkyl; R5 = alkoxy, alkylamino), or pharmaceutically acceptable salts thereof, which is useful as a medicament for inhibition of tumor necrosis factor  $\alpha$  (TNF $\alpha$ ) and/or matrix metalloproteinases (MMPs). Thus, reaction of 5.18 g phthalimidodisuccinamide II (R = OH) trifluoroacetate (preparation given) with 1.63 g O-benzylhydroxylamine hydrochloride in the presence of water-soluble carbodiimide and HOBt in DMF gave 3.4 g protected hydroxyamide II (R = PhCH2ONH). Hydrazinolysis of II (R = PhCH2ONH), followed by amidation and catalytic transfer hydrogenolysis with cyclohexene gave desired title compound III. III inhibited human collagenase with IC50 = 1.5 nM.

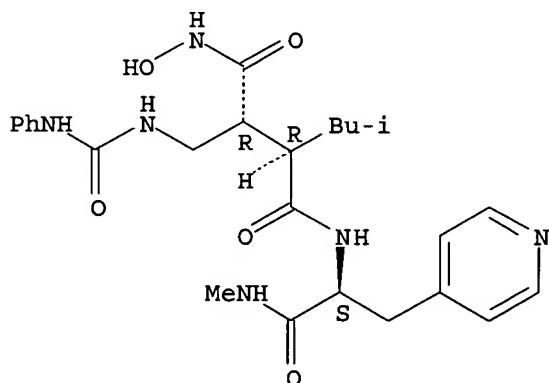
AN 1998:42242 CAPLUS  
DN 128:89109  
TI Preparation of hydroxysuccinamide derivatives useful as TNF and/or MMP inhibitors  
IN Hemmi, Mitsue; Neya, Masahiro; Urano, Yasuharu; Shima, Ichiro  
PA Fujisawa Pharmaceutical Co.,ltd., Japan  
SO PCT Int. Appl., 173 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9747599	A1	19971218	WO 1997-JP2004	19970611 <--
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 2000512290	T2	20000919	JP 1998-501438	19970611 <--
PRAI	AU 1996-482	A	19960614		
	WO 1997-JP2004	W	19970611		
OS	MARPAT 128:89109				
IT	200873-54-7P 200874-24-4P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of hydroxysuccinamide derivs. as tumor necrosis factor and matrix metalloproteinase inhibitors)				
RN	200873-54-7	CAPLUS			
CN	Butanediamide, N4-hydroxy-N1-[2-(methylamino)-2-oxo-1-(4-pyridinylmethyl)ethyl]-2-(2-methylpropyl)-3-[[[(phenylamino)carbonyl]amino]methyl]-, [2R-[1(S*),2R*,3R*]]- (9CI) (CA INDEX NAME)				

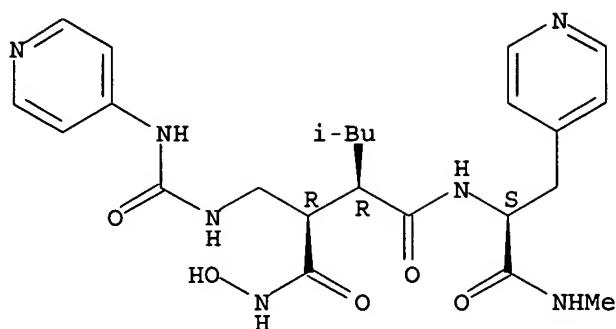
Absolute stereochemistry. Rotation (-).



RN 200874-24-4 CAPLUS

CN Butanediamide, N4-hydroxy-N1-[2-(methylamino)-2-oxo-1-(4-pyridinylmethyl)ethyl]-2-(2-methylpropyl)-3-[[[(4-pyridinylamino)carbonyl]amino]methyl]-, [2R-[1(S\*),2R\*,3R\*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB R1CO(CH2)nCOR2 [R1 = R2 = (substituted) arylamino, cycloalkylamino, pyridineamino, piperidino, 9-purine-6-amino, thiazoleamino; or R1 ≠ R2 and R1 = NR3R4; R3, R4 = H, OH, (substituted) alkyl, alkenyl, cycloalkyl, aryl, alkoxy, aryloxy, aralkoxy, pyridyl; R3R4N = piperidino; n = 4-8; R2 = hydroxylamino, OH, amino, alkoxy], and related compds., were prepared Thus, 3-HONHCOC6H4CH:CHCONHOH (prepared by reaction of H2NOSiMe3 with the corresponding diacid dichloride) induced terminal differentiation with an optimal concentrate of 4 μM with 73% benzidine reactive cells.

AN 1998:8261 CAPLUS

DN 128:75197

TI Preparation of arylhydroxamates and related compounds as potent inducers of terminal differentiation.

IN Breslow, Ronald; Marks, Paul A.; Rifkind, Richard A.

PA Sloan-Kettering Institute for Cancer Research, USA

SO U.S., 24 pp., Cont.-in-part of U.S. 5,369,108.

CODEN: USXXAM

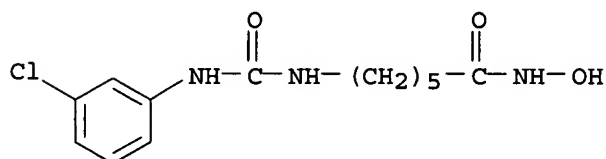
DT Patent

LA English

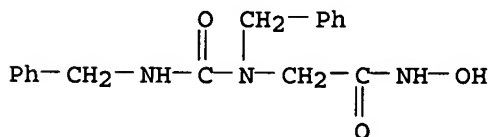
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5700811	A	19971223	US 1994-246363	19940519 <--
	US 5369108	A	19941129	US 1991-771760	19911004 <--
	HU 67421	A2	19950428	HU 1994-959	19921005 <--
	AT 183185	E	19990815	AT 1992-922033	19921005 <--
	ES 2134815	T3	19991016	ES 1992-922033	19921005 <--
	JP 2003226680	A2	20030812	JP 2002-337049	19921005 <--
	US 5932616	A	19990803	US 1994-222685	19940404 <--
	CA 2190765	AA	19951130	CA 1995-2190765	19950519 <--
	WO 9531977	A1	19951130	WO 1995-US6554	19950519 <--
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9526474	A1	19951218	AU 1995-26474	19950519 <--
	AU 692561	B2	19980611		
	EP 760657	A1	19970312	EP 1995-921378	19950519 <--
	EP 760657	B1	20031112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 253906	E	20031115	AT 1995-921378	19950519 <--
	ES 2210293	T3	20040701	ES 1995-921378	19950519
	AU 9662063	A1	19961017	AU 1996-62063	19960813 <--
	AU 708115	B2	19990729		
	US 6087367	A	20000711	US 1999-314195	19990518 <--
	US 38506	E	20040420	US 2001-4411	20011102

PRAI US 1991-771760 A2 19911004  
 JP 1993-507109 A3 19921005  
 US 1994-222685 A1 19940404  
 US 1994-246363 A 19940519  
 WO 1995-US6554 W 19950519  
 OS MARPAT 128:75197  
 IT 174664-68-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of arylhydroxamates and related compds. as potent inducers of terminal differentiation)  
 RN 174664-68-7 CAPLUS  
 CN Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
 (CA INDEX NAME)



L5 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB A novel linkage for the solid-phase synthesis of hydroxamic acids using trityl chloride resin as the base matrix is described. Its facile application for the solid-phase synthesis of peptidyl, succinyl, and urea-type hydroxamic acids is illustrated. Cleavage is induced under mild acidic conditions by treatment with formic acid in THF, providing hydroxamic acids in high purity and fair to good yields.  
 AN 1997:707389 CAPLUS  
 DN 127:358497  
 TI A novel linkage for the solid-phase synthesis of hydroxamic acids  
 AU Bauer, Udo; Ho, Wen-Bin; Koskinen, Ari M. P.  
 CS Department of Chemistry, University of Oulu, Oulu, FI-90571, Finland  
 SO Tetrahedron Letters (1997), 38(41), 7233-7236  
 CODEN: TELEAY; ISSN: 0040-4039  
 PB Elsevier  
 DT Journal  
 LA English  
 OS CASREACT 127:358497  
 IT 198565-62-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (linkage for solid-phase synthesis of hydroxamic acids)  
 RN 198565-62-7 CAPLUS  
 CN Acetamide, N-hydroxy-2-[(phenylmethyl)[[(phenylmethyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

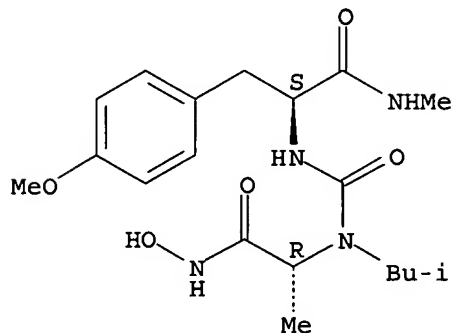


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

## ALL CITATIONS AVAILABLE IN THE RE FORMAT

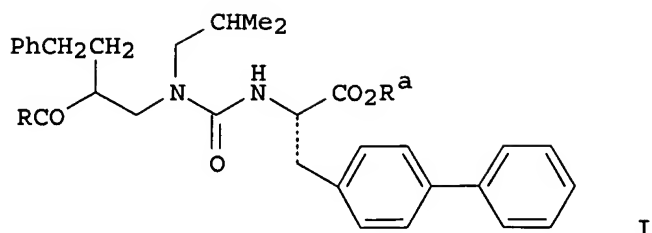
L5 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
AB A new method for the synthesis of succinyl sulfinyl chlorides was applied to the preparation of sulfonamide peptide mimics of matrix metalloproteinase (MMP) inhibitors. Sulfonamide mimics were determined to be active against MMPs and represent promising new leads for further optimization. Urea mimics were also prepared and unstable and prone to hydantoin formation in protic media.  
AN 1997:686349 CAPLUS  
DN 127:355046  
TI Amide surrogates of matrix metalloproteinase inhibitors: urea and sulfonamide mimics  
AU Decicco, Carl P.; Seng, Jennifer L.; Kennedy, Kenneth E.; Covington, Maryanne B.; Welch, Patty K.; Arner, Elizabeth C.; Magolda, Ronald L.; Nelson, David J.  
CS Department of Chemical and Physical Sciences, The Dupont Merck Pharmaceutical Company, Experimental Station, Wilmington, DE, 19800-0500, USA  
SO Bioorganic & Medicinal Chemistry Letters (1997), 7(18), 2331-2336  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier  
DT Journal  
LA English  
OS CASREACT 127:355046  
IT 198630-47-6P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(amide surrogates of matrix metalloproteinase inhibitors: urea and sulfonamide mimics)  
RN 198630-47-6 CAPLUS  
CN Benzenepropanamide,  $\alpha$ -[[[2-(hydroxyamino)-1-methyl-2-oxoethyl](2-methylpropyl)amino]carbonyl]amino]-4-methoxy-N-methyl-, [R-(R\*,S\*)]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
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AB The title compds., N-(dialkylcarbamoyl)phenylalanine derivs., represented by general formula  $R_1R_2CHCH_2NR_3CONHCHR_5(A)NR_4$  and salts thereof, [wherein  $R_1, R_5$  = carboxyl optionally converted into ester, amide, or hydroxamic acid, phosphono optionally converted into ester;  $R_2$  = H, lower alkyl, (substituted) phenyl-lower alkyl, lower alkoxy, (substituted) phenyl-lower alkoxy;  $R_3$  = lower alkyl or (substituted) phenyl-lower alkyl;  $R_4$  = (un)substituted biphenyl, naphthylphenyl, naphthyl] are prepared. These compds. have an endopeptidase 24.11 inhibitory activity and are useful for treating cardiovascular diseases such as cardiac failure and hypertension, kidney diseases such as renal failure, gastrointestinal disorders such as diarrhea and gastric hyperacidity, endocrine-metabolic diseases such as obesity, and autoimmune diseases such as rheumatism, and for mitigating muscular pain and migraine. Thus, a urea derivative (I;  $R$  = HO,  $R_a$  =  $CH_2Ph$ ) was condensed with O-benzylhydroxylamine hydrochloride using 1-hydroxybenzotriazole and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of N-methylmorpholine in  $CH_2Cl_2$  to give the hydroxamic acid ester I ( $R$  =  $PhCH_2ONH$ ,  $R_a$  =  $CH_2Ph$ ), which was hydrogenolyzed in the presence of 20%  $Pd(OH)_2$  under H atmospheric in THF for 4

h to give the title compound I ( $R$  =  $HONH$ ,  $R_a$  =  $H$ ), which in vitro showed  $IC_{50}$  of  $2.1 \times 10^{-9}$  M against endopeptidase 12.11 preparation from rat kidney.

AN 1996:527317 CAPLUS

DN 125:168646

TI Preparation of novel 1,3-dialkylurea derivatives endopeptidase 24.11 inhibitors

IN Kawashima, Yoichi; Fujimura, Ken-ichi; Suhara, Hiroshi; Yamamoto, Noriyoshi; Matsumoto, Hiromi; Miyawaki, Nobuaki; Fujita, Yuko

PA Santen Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9618606	A1	19960620	WO 1995-JP2539	19951211 <--
	W: CA, CN, FI, KR, NO, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 08231492	A2	19960910	JP 1995-320253	19951208 <--
	JP 2920741	B2	19990719		
	EP 798291	A1	19971001	EP 1995-939417	19951211 <--
	EP 798291	B1	20020911		
	R: CH, DE, FR, GB, IT, LI				
	US 5968980	A	19991019	US 1997-849402	19970603 <--
PRAI	JP 1994-310493	A	19941214		
	WO 1995-JP2539	W	19951211		
OS	MARPAT 125:168646				

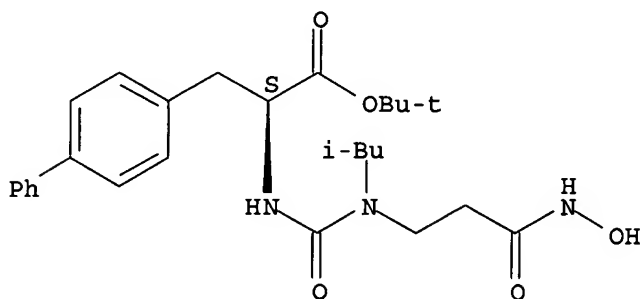
IT 180317-41-3P 180318-28-9P 180471-15-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-(dialkylcarbamoyl)phenylalanine derivs. as endopeptidase 24.11 inhibitors for disease therapy)

RN 180317-41-3 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid,  $\alpha$ -[[[3-(hydroxyamino)-3-oxopropyl](2-methylpropyl)amino]carbonyl]amino]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

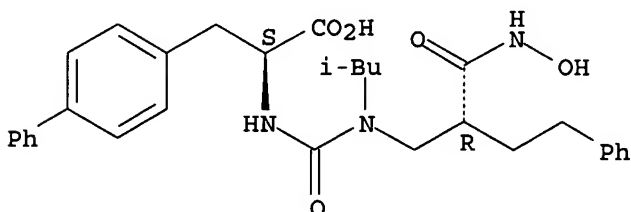
Absolute stereochemistry.



RN 180318-28-9 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid,  $\alpha$ -[[[2-[(hydroxyamino)carbonyl]-4-phenylbutyl](2-methylpropyl)amino]carbonyl]amino]-, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

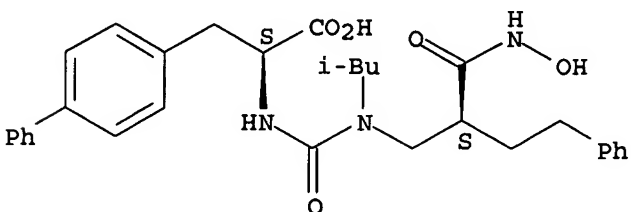
Absolute stereochemistry.



RN 180471-15-2 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid,  $\alpha$ -[[[2-[(hydroxyamino)carbonyl]-4-phenylbutyl](2-methylpropyl)amino]carbonyl]amino]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN  
 AB N-(N-hydroxyalkyl-N-alkylcarbamoyl)amino acid compds. represented by general formula  $R_1CH(OH)CH_2NR_2CONHCHR_3R_4$  (wherein  $R_1$  and  $R_4$  represent carboxyl optionally converted into an ester, amide or hydroxamate group;  $R_2$  represents lower alkyl or Ph lower alkyl;  $R_3$  represents hydrogen, lower alkyl, amino lower alkyl, lower alkylamino lower alkyl, hydroxy lower alkyl, mercapto lower alkyl, carboxy lower alkyl, lower alkoxy carbonyl lower alkyl, imidazolyl lower alkyl, indolyl lower alkyl, optionally substituted Ph, optionally substituted Ph lower alkyl, optionally substituted naphthyl or optionally substituted naphthyl lower alkyl) and salts thereof are prepared These compound have an inhibitory effect on endopeptidase 24.11 and being useful as a remedy for cardiovascular diseases such as cardiac insufficiency and hypertension, kidney diseases such as renal insufficiency, gastrointestinal disorders such as diarrhea and gastric hyperacidity, endocrine/metabolic diseases such as obesity, and autoimmune diseases such as rheumatism, and as analgesic agents for muscular pain, hemicrania, etc. (no data). Thus, 293 mg H-Phe-OEt.HCl was stirred with 247 mg 1,1'-carbonyldiimidazole and 86 mg imidazole in THF at room temperature for 20 min, treated with a solution of 241 mg Et (RS)-2-hydroxy-3-(N-isobutyl)aminopropionate in THF, and refluxed for 30 min to give (RS)-EtO<sub>2</sub>CCH(OH)CH<sub>2</sub>N(iso-Bu)CO-Phe-OEt.

AN 1996:462322 CAPLUS

DN 125:143295

TI Preparation of novel 1,3-dialkylurea derivatives having hydroxyl group as endopeptidase inhibitors

IN Kawashima, Yoichi; Fujimura, Ken-ichi; Suhara, Hiroshi; Miyawaki, Nobuaki; Fujita, Yuko

PA Santen Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9614293	A1	19960517	WO 1995-JP2236	19951101 <--
	W: CA, CN, FI, KR, NO, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2180021	AA	19960517	CA 1995-2180021	19951101 <--
	JP 08208589	A2	19960813	JP 1995-284862	19951101 <--
	JP 2829501	B2	19981125		
	EP 738711	A1	19961023	EP 1995-936083	19951101 <--
	EP 738711	B1	20000308		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1138321	A	19961218	CN 1995-191137	19951101 <--
	CN 1055681	B	20000823		
	AT 190304	E	20000315	AT 1995-936083	19951101 <--
	ES 2145929	T3	20000716	ES 1995-936083	19951101 <--
	NO 9602810	A	19960703	NO 1996-2810	19960703 <--
	NO 306944	B1	20000117		
	FI 9602751	A	19960704	FI 1996-2751	19960704 <--
	US 5891912	A	19990406	US 1996-663239	19960715 <--
PRAI	JP 1994-270957	A	19941104		
	WO 1995-JP2236	W	19951101		

OS MARPAT 125:143295

IT 179177-47-0P 179177-48-1P 179177-49-2P

179177-50-5P 179177-54-9P 179177-57-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);



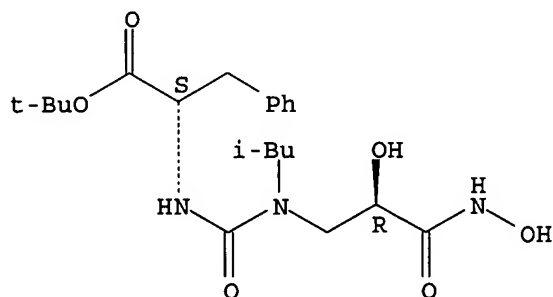
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(N-hydroxyalkyl-N-alkylcarbamoyl)amino acid derivs. as endopeptidase inhibitors for disease therapy)

RN 179177-47-0 CAPLUS

CN L-Phenylalanine, N-[[[2-hydroxy-3-(hydroxyamino)-3-oxopropyl] (2-methylpropyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

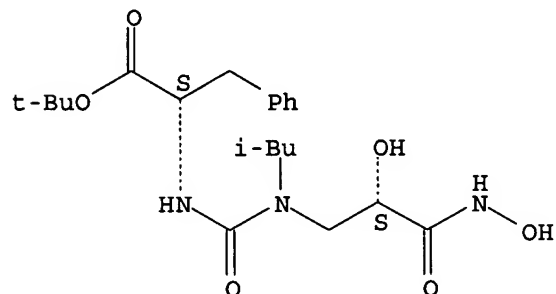
Absolute stereochemistry.



RN 179177-48-1 CAPLUS

CN L-Phenylalanine, N-[[[2-hydroxy-3-(hydroxyamino)-3-oxopropyl] (2-methylpropyl)amino]carbonyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

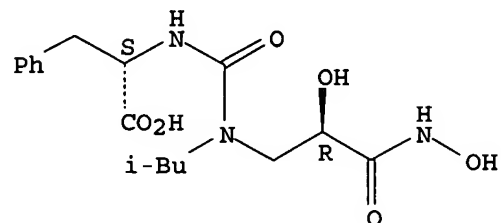
Absolute stereochemistry.



RN 179177-49-2 CAPLUS

CN L-Phenylalanine, N-[[[2-hydroxy-3-(hydroxyamino)-3-oxopropyl] (2-methylpropyl)amino]carbonyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

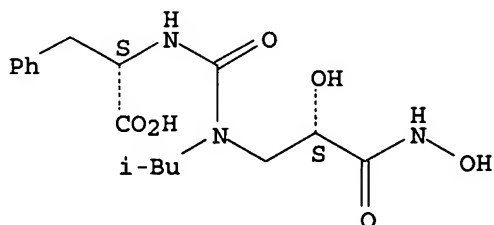


RN 179177-50-5 CAPLUS

10614498

CN L-Phenylalanine, N-[[[2-hydroxy-3-(hydroxyamino)-3-oxopropyl](2-methylpropyl)amino]carbonyl]-, (S)- (9CI) (CA INDEX NAME)

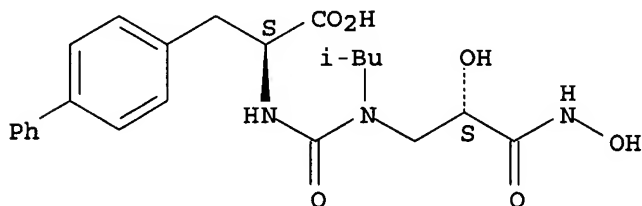
Absolute stereochemistry.



RN 179177-54-9 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid,  $\alpha$ -[[[2-hydroxy-3-(hydroxyamino)-3-oxopropyl](2-methylpropyl)amino]carbonyl]amino]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

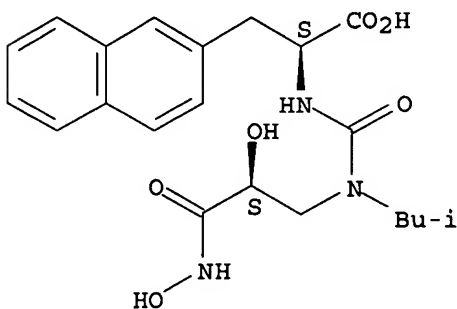
Absolute stereochemistry. Rotation (-).



RN 179177-57-2 CAPLUS

CN 2-Naphthalenepropanoic acid,  $\alpha$ -[[[2-hydroxy-3-(hydroxyamino)-3-oxopropyl](2-methylpropyl)amino]carbonyl]amino]-, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



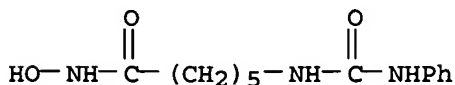
L5 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB Alkanedicarboxylic acid amides  $R_1CO(CH_2)_nCOR_2$  [I; wherein each of  $R_1$  and  $R_2$  are independently the same or different from each other;  $R_1$  and  $R_2$  are the same, each is a substituted or unsubstituted arylamino, cycloalkylamino, pyridineamino, piperidino, 9-purine-6-amine, or thiazoleamino group; when  $R_1$  and  $R_2$  are different,  $R_1 = R_3-NR_4$ , wherein each of  $R_3$  and  $R_4$  are independently the same as or different from each other and are H, HO, (un)substituted, branched or unbranched alkyl,

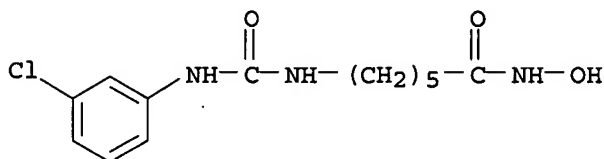
alkenyl, cycloalkyl, aryl, alkyloxy, aryloxy, arylalkyloxy, or pyridine group, or R3 and R4 bond together to form a piperidine group and R2 is a hydroxylamino, HO, NH2, alkylamino, dialkylamino or alkyloxy group; n = an integer from about 4-8], which inhibit proliferation of such cells and are useful for treating a patient having a tumor characterized by proliferation of neoplastic cells, are prepared Thus, chlorination of suberic acid monomethyl ester with oxalyl chloride benzene containing DMF to suberoyl chloride followed by condensation with O-benzylhydroxylamine in pyridine/CHCl3 at room temperature overnight gave 89% PhCH2ONHCO(CH2)6CO2Me. Hydrogenolysis of the latter compound in the presence of 5% Pd-C under .apprx.50 psi H atmospheric to HONHC(O)(CH2)6CO2Me followed by saponification with KOH in aqueous MeOH under reflux for 2 h and acidification with concentrated HCl gave HONHC(O)(CH2)6CO2H. PhONHC(O)(CH2)6C(O)NHOH at 3 µM in vitro induced the differentiation of MELC cells and HL-60 human leukemia cells by 21 and 65%, resp.

AN 1996:181546 CAPLUS  
 DN 124:260602  
 TI Preparation of alkanedicarboxylic acid amides as novel potent inducers of terminal differentiation of neoplastic cell  
 IN Breslow, Ronald; Marks, Paul A.; Rifkind, Richard A.  
 PA Sloan-Kettering Institute for Cancer Research, USA; Trustees of Columbia University in the City of New York  
 SO PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9531977	A1	19951130	WO 1995-US6554	19950519 <--
	W: AU, CA, JP, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5700811	A	19971223	US 1994-246363	19940519 <--
	AU 9526474	A1	19951218	AU 1995-26474	19950519 <--
	AU 692561	B2	19980611		
	EP 760657	A1	19970312	EP 1995-921378	19950519 <--
	EP 760657	B1	20031112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 253906	E	20031115	AT 1995-921378	19950519 <--
PRAI	US 1994-246363	A	19940519		
	US 1991-771760	A2	19911004		
	WO 1995-US6554	W	19950519		
OS	MARPAT 124:260602				
IT	174664-67-6P 174664-68-7P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of alkanedicarboxylic acid amides as inducers of terminal differentiation of neoplastic cell and as anticancer agents)				
RN	174664-67-6 CAPLUS				
CN	Hexanamide, N-hydroxy-6-[[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)				



RN 174664-68-7 CAPLUS

CN Hexanamide, 6-[[[(3-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
(CA INDEX NAME)

L5 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB 1,3,5-Substituted biurets (NHRCONR1CONHR2), where R = H, lower alkyl, substituted lower alkyl (Cl, CN, NMe2, OH, OMe, or COOH), lower alkenyl, OH, OMe, Ac, or Ph; R1 = H, lower alkyl, or Ph; and R2 = Ph, substituted Ph (halogen, CF3, Me, OMe, methylenedioxy, OH, NMe2, COOH, or CO2Me), benzyl, pyridyl, etc. were synthesized and analgesic, antiinflammatory, and antipyretic prepns. containing these compds. are described. The biurets were prepared by reacting NHRCONHR1 with R2NCO, NHR1CONHR2 with RNCO, NHRCONR1COCl with R1NH2, NHR2CONR1COCl with RNH2, diazetidine-2,4-dione containing either R and R1 or R2 and R1 in positions 1 and 3, resp., with R2NH2 or RNH2, resp., and a 1,3,5-oxadiazine-2,4,6-trione containing either R and R1 or R2 and R1 at positions 3 and 5, resp., with R2NH2 or RNH2, resp. Formulations of capsules, injections, salves, suppositories, and tablets containing representatives of these compds. are presented.

AN 1981:52955 CAPLUS

DN 94:52955

TI Pharmaceutical composition containing 1,3,5-substituted biurets

IN Fujimura, Hajime; Hiramatsu, Yasuzo; Yabuuchi, Takahiro; Hisaki, Masaktu; Takikawa, Katsuo; Honna, Takaji; Miyake, Hidekazu; Kajitani, Makoto

PA Taiho Yakuhin Kogyo K. K., Japan

SO Ger. Offen., 59 pp.

CODEN: GWXXBX

DT Patent

LA German

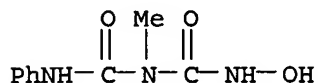
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3012190	A1	19801002	DE 1980-3012190	19800328 <--
	DE 3012190	C2	19850926		
	JP 55130910	A2	19801011	JP 1979-38791	19790331 <--
	JP 63023966	B4	19880518		
	JP 55130912	A2	19801011	JP 1979-38793	19790331 <--
	JP 63050325	B4	19881007		
	US 4287207	A	19810901	US 1980-134411	19800327 <--
	FR 2452925	A1	19801031	FR 1980-7036	19800328 <--
	FR 2452925	B1	19830624		
	GB 2055043	A	19810225	GB 1980-10753	19800331 <--
	US 4350700	A	19820921	US 1981-257583	19810427 <--
PRAI	JP 1979-38791	A	19790331		
	JP 1979-38793	A	19790331		
	JP 1979-38792		19790331		
	JP 1979-38794		19790331		
	US 1980-134411	A3	19800327		
OS	CASREACT 94:52955; MARPAT 94:52955				
IT	76298-87-8P				
	RL: PREP (Preparation)				

(preparation of, for analgesic and antiinflammatory and antipyretic formulations)

RN 76298-87-8 CAPLUS

CN Imidodicarbonic diamide, N-hydroxy-2-methyl-N'-phenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB HO<sub>2</sub>CCHRNHCONHCHR<sub>1</sub>CONHOH [R = H, CH<sub>2</sub>CHMe<sub>2</sub>, Me, CH<sub>2</sub>Ph, (CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, hexyl; R<sub>1</sub> = H, CH<sub>2</sub>Ph] were prepared as inhibitors of angiotensin-converting enzyme. They inhibited the rabbit lung enzyme at 0.4-3.2 + 10<sup>-5</sup> mol/L. Thus, H<sub>2</sub>NOCH<sub>2</sub>Ph.HCl was treated with BOC-Gly-OH (BOC = Me<sub>3</sub>CO<sub>2</sub>C) to give BOC-Gly-NHOCH<sub>2</sub>Ph which was cleaved with CF<sub>3</sub>CO<sub>2</sub>H to give H-Gly-NHOCH<sub>2</sub>Ph.CF<sub>3</sub>CO<sub>2</sub>H. The latter was treated with phosgene and H-Gly-OEt.HCl to give PhONHCOCH<sub>2</sub>NHCO-Gly-OEt which was hydrogenated and saponified to give HONHCOCH<sub>2</sub>NHCO-Gly-OH.

AN 1978:170496 CAPLUS

DN 88:170496

TI Substituted ureidoacetohydroxamic acids

IN Fessler, Dyrál C.; Massey, Thomas H.; Heavner, George A.

PA Morton-Norwich Products, Inc., USA

SO Ger. Offen., 15 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

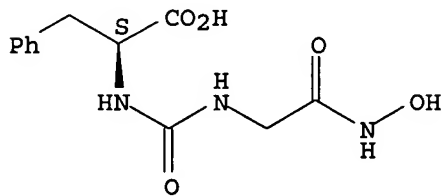
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2729583	A1	19780112	DE 1977-2729583	19770630 <--
	US 4028401	A	19770607	US 1976-701658	19760701 <--
PRAI	US 1976-701658	A	19760701		
IT	66336-78-5P				

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 66336-78-5 CAPLUS

CN L-Phenylalanine, N-[[[2-(hydroxyamino)-2-oxoethyl]amino]carbonyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

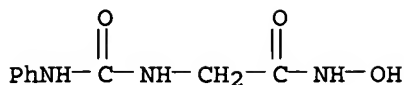
AB Psychotropic RCONHOH (R = e.g. CBu<sub>3</sub>, 5,5-diphenylhydantoinylmethyl, CH<sub>2</sub>CONPh<sub>2</sub>, CH<sub>2</sub>NHCOCHPh<sub>2</sub>, CH<sub>2</sub>SOCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Cl-4, phenothiazinylethyl, 1-phenyl-2-benzimidazolylmethyl, CH<sub>2</sub>NHC<sub>6</sub>H<sub>3</sub>Cl<sub>2</sub>-3,4, CH<sub>2</sub>NHCONHC<sub>6</sub>H<sub>4</sub>Cl-4) (38

compds.) were prepared Thus, Bu<sub>3</sub>CCO<sub>2</sub>H was chlorinated and treated with NH<sub>2</sub>OH.HCl to give 48% Bu<sub>3</sub>CCONHOH, which had tranquilizing activity in mice. Ph<sub>2</sub>NCOCH<sub>2</sub>CONHOH, at 100 mg/kg in 2 doses 2 h apart in rats, also lowered arterial blood pressure 10% and decreased heart frequency 8%.

AN 1978:22917 CAPLUS  
 DN 88:22917  
 TI Acetohydroxamic acids  
 IN Lafon, Louis  
 PA Laboratoire L. Lafon S. A., Fr.  
 SO Ger. Offen., 105 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2711451	A1	19771006	DE 1977-2711451	19770316 <--
	DE 2711451	C2	19900510		
	GB 1574822	A	19800910	GB 1976-11710	19760323 <--
	FR 2345430	A1	19771021	FR 1977-6997	19770309 <--
	FR 2345430	B1	19820723		
	ZA 7701584	A	19780726	ZA 1977-1584	19770316 <--
	AU 7723344	A1	19780921	AU 1977-23344	19770317 <--
	AU 516473	B2	19810604		
	US 4122186	A	19781024	US 1977-778543	19770317 <--
	FI 7700859	A	19770924	FI 1977-859	19770318 <--
	FI 62821	B	19821130		
	FI 62821	C	19830310		
	AT 7701930	A	19790915	AT 1977-1930	19770321 <--
	AT 356078	B	19800410		
	CH 620894	A	19801231	CH 1977-3479	19770321 <--
	IL 51705	A1	19820930	IL 1977-51705	19770321 <--
	BE 852738	A1	19770922	BE 1977-175998	19770322 <--
	DK 7701266	A	19770924	DK 1977-1266	19770322 <--
	DK 171197	B1	19960722		
	SE 7703263	A	19770924	SE 1977-3263	19770322 <--
	SE 432420	B	19840402		
	SE 432420	C	19840712		
	NO 7701006	A	19770926	NO 1977-1006	19770322 <--
	NO 144420	B	19810518		
	NO 144420	C	19810826		
	HU 172677	B	19771128	HU 1977-LA912	19770322 <--
	ES 457105	A1	19781016	ES 1977-457105	19770322 <--
	CS 200511	P	19800915	CS 1977-1904	19770322 <--
	NL 7703168	A	19770927	NL 1977-3168	19770323 <--
	NL 188801	B	19920506		
	NL 188801	C	19921001		
	JP 52144601	A2	19771202	JP 1977-32011	19770323 <--
	JP 62008424	B4	19870223		
	DD 129645	C	19780201	DD 1977-198023	19770323 <--
	SU 689617	D	19790930	SU 1977-2465454	19770323 <--
	PL 113772	B1	19801231	PL 1977-198229	19770519 <--
	BE 863947	A4	19780529	BE 1978-185158	19780214 <--
	US 4151300	A	19790424	US 1978-930927	19780804 <--
	US 4152458	A	19790501	US 1978-930926	19780804 <--
	US 4183951	A	19800115	US 1978-930925	19780804 <--
	US 4209523	A	19800624	US 1978-930924	19780804 <--
	US 4209524	A	19800624	US 1978-930928	19780804 <--
	AT 7808399	A	19800215	AT 1978-8399	19781124 <--
	AT 358556	B	19800925		

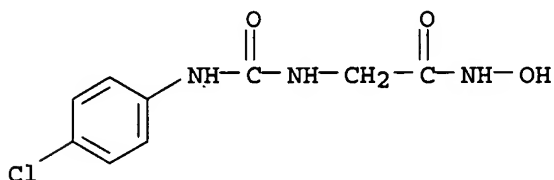
AT 7808398	A	19800915	AT 1978-8398	19781124 <--
AT 361932	B	19810410		
AT 362793	B	19810610	AT 1978-8400	19781124 <--
AT 7808400	A	19801115		
US 4225617	A	19800930	US 1979-69254	19790824 <--
US 4325964	A	19820420	US 1979-107609	19791227 <--
FR 2453148	A1	19801031	FR 1980-5644	19800313 <--
FR 2453148	B1	19831202		
FR 2453133	A1	19801031	FR 1980-5645	19800313 <--
FR 2453133	B1	19840406		
FR 2453158	A1	19801031	FR 1980-5646	19800313 <--
FR 2453158	B1	19820806		
AT 8005014	A	19830815	AT 1980-5014	19801009 <--
AT 374191	B	19840326		
NO 8003336	A	19770926	NO 1980-3336	19801106 <--
NO 146431	B	19820621		
NO 146431	C	19820929		
NO 8003337	A	19770926	NO 1980-3337	19801106 <--
NO 152972	B	19850916		
NO 152972	C	19851227		
NO 8003338	A	19770926	NO 1980-3338	19801106 <--
NO 145881	B	19820308		
NO 145881	C	19820616		
FI 8201213	A	19820406	FI 1982-1213	19820406 <--
FI 65236	B	19831230		
FI 65236	C	19840410		
FI 8201214	A	19820406	FI 1982-1214	19820406 <--
FI 69624	B	19851129		
FI 69624	C	19860310		
FI 8201215	A	19820406	FI 1982-1215	19820406 <--
FI 71313	B	19860909		
FI 71313	C	19861219		
SE 8302171	A	19830419	SE 1983-2171	19830419 <--
SE 452155	B	19871116		
SE 452155	C	19880225		
SE 8302172	A	19830419	SE 1983-2172	19830419 <--
SE 458605	B	19890417		
SE 458605	C	19890810		
SE 8302173	A	19830419	SE 1983-2173	19830419 <--
SE 456992	B	19881121		
SE 456992	C	19890316		
PRAI GB 1976-11710	A	19760323		
GB 1977-6298	A	19770215		
US 1977-778543	A3	19770317		
FI 1977-859	A	19770318		
AT 1977-1930	A	19770321		
GB 1977-16705	A	19770421		
US 1978-877963	A1	19780215		
US 1978-930925	A3	19780804		
IT 65051-23-2P				
RL: SPN (Synthetic preparation); PREP (Preparation)				
(preparation and psychotropic activity of)				
RN 65051-23-2 CAPLUS				
CN Acetamide, N-hydroxy-2-[[ (phenylamino) carbonyl] amino] - (9CI)			(CA INDEX	
NAME)				



IT 65051-50-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 65051-50-5 CAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-hydroxy- (9CI)  
(CA INDEX NAME)

L5 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

AB Antihypertensive HO<sub>2</sub>CCHRNHCONHCHR<sub>1</sub>CONHOH [I; R = H, DL-Me, L-Me, DL-CH<sub>2</sub>CHMe<sub>2</sub>, DL-CH<sub>2</sub>Ph, L-(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>, DL-(CH<sub>2</sub>)<sub>7</sub>Me, R<sub>1</sub> = H; R = L-Me, R<sub>1</sub> = L-CH<sub>2</sub>Ph] were prepared by treating R<sub>2</sub>O<sub>2</sub>CHRNH<sub>2</sub> (R<sub>2</sub> = PhCH<sub>2</sub>, Me, Et) with H<sub>2</sub>NCHR<sub>1</sub>CONHOCH<sub>2</sub>Ph and COCl<sub>2</sub> or 1,1'-carbonyldiimidazole and deblocking the resulting R<sub>2</sub>O<sub>2</sub>CHRNHCONHCHR<sub>1</sub>CONHOH (II). Thus, Me<sub>3</sub>CO<sub>2</sub>C-Gly-OH was coupled to H<sub>2</sub>NCH<sub>2</sub>Ph by the mixed anhydride method to give BOC-Gly-NHOCH<sub>2</sub>Ph, which was cleaved with CF<sub>3</sub>CO<sub>2</sub>H to give H-Gly-NHOCH<sub>2</sub>Ph. The latter was treated with H-DL-Ph-OMe and COCl<sub>2</sub> in toluene/pyridine to give II (R = DL-CH<sub>2</sub>Ph, R<sub>1</sub> = H, R<sub>2</sub> = Me), which was hydrogenated over Pd/C and saponified with 1N NaOH to give I (R = DL-CH<sub>2</sub>Ph, R<sub>1</sub> = H). I are antihypertensives since they are inhibitors of angiotensin converting enzyme (III); I inhibit pure III isolated from rabbit lung tissue at levels of 0.4-3.2 + 10<sup>-5</sup> mole/L.

AN 1977:468654 CAPLUS

DN 87:68654

TI (Substituted)ureidoacetohydroxamic acids

IN Fessler, Dyrall C.; Heavner, George A.; Massey, Thomas H.

PA Morton-Norwich Products, Inc., USA

SO U.S., 5 pp.

CODEN: USXXAM

DT Patent

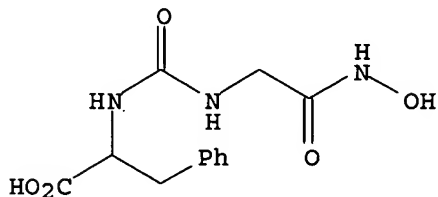
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4028401	A	19770607	US 1976-701658	19760701 <--
	AU 7724759	A1	19781109	AU 1977-24759	19770502 <--
	GB 1525907	A	19780927	GB 1977-19396	19770509 <--
	NL 7706295	A	19780103	NL 1977-6295	19770608 <--
	CA 1079748	A1	19800617	CA 1977-280542	19770615 <--
	SE 7707424	A	19780102	SE 1977-7424	19770627 <--
	ES 460204	A1	19780901	ES 1977-460204	19770628 <--
	BE 856337	A1	19771230	BE 1977-178974	19770630 <--
	DE 2729583	A1	19780112	DE 1977-2729583	19770630 <--
	JP 53005119	A2	19780118	JP 1977-77288	19770630 <--
	FR 2356626	A1	19780127	FR 1977-20190	19770630 <--



PRAI US 1976-701658 A 19760701  
 IT 63648-98-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 63648-98-6 CAPLUS  
 CN Phenylalanine, N-[[[2-(hydroxyamino)-2-oxoethyl]amino]carbonyl]- (9CI)  
 (CA INDEX NAME)



=> FIL STNGUIDE  
 COST IN U.S. DOLLARS  
 FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
197.97	365.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  
 CA SUBSCRIBER PRICE

SINCE FILE	TOTAL
ENTRY	SESSION
-28.50	-28.50

FILE 'STNGUIDE' ENTERED AT 18:03:13 ON 03 MAY 2006  
 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
 COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE  
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FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: May 2, 2006 (20060502/UP).

=> logoff y  
 COST IN U.S. DOLLARS  
 FULL ESTIMATED COST

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ENTRY	SESSION
0.84	366.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  
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